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L10  ANSWER 1 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2003:678507  CAPLUS
DN   139:214467
TI   Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related
     compounds that are useful in treating sexual dysfunction
IN   Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew
     O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey;
     Engstrom, Kenneth M.
PA   USA
SO   U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 94,265.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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PI   US 2003162790      A1   20030828      US 2002-236812   20020906
     US 2002169167      A1   20021114      US 2002-94265    20020308
     WO 2003076431      A1   20030918      WO 2003-US6406   20030304
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
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             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
PRAI US 2001-274805P   P     20010309
     US 2001-296078P   P     20010605
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OS   MARPAT 139:214467
GI
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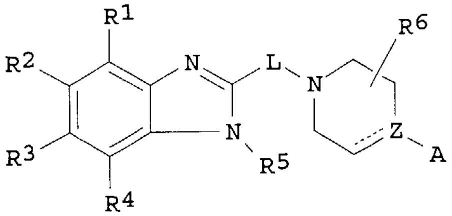

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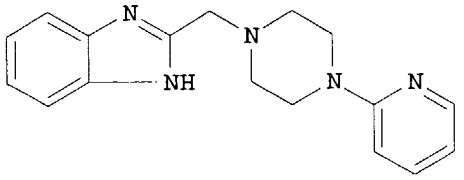


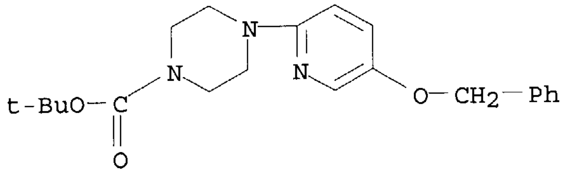
II

AB Title compds. (I) [wherein A = (un)substituted Ph, pyridinyl, pyrimidinyl, thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un)substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un)substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepared as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the solution stirred at 20° for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 μmol/kg without inducing emesis.

IT **474417-49-7P**, tert-Butyl 4-[5-(benzyloxy)pyridin-2-yl]piperazine-1-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 474417-49-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-(phenylmethoxy)-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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L10  ANSWER 2 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2003:639025  CAPLUS
DN   139:185309
TI   New 6-alkoxy-2,3-diaminopyridine couplers for dyeing keratin fibers
IN   Vidal, Laurent; Fadli, Aziz
PA   L'Oreal, Fr.
SO   Fr. Demande, 37 pp.
     CODEN: FRXXBL
DT   Patent
LA   French
FAN.CNT 1
     PATENT NO.         KIND  DATE          APPLICATION NO.   DATE
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PI   FR 2835741          A1   20030815      FR 2002-1710      20020212
     EP 1336606          A1   20030820      EP 2003-290292    20030205
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     US 2003226216       A1   20031211      US 2003-361589    20030211
     JP 2004035536       A2   20040205      JP 2003-33225     20030212
PRAI FR 2002-1710        A    20020212
     US 2002-372453P     P    20020416
OS   MARPAT 139:185309
AB   A useful hair dye composition for the dyeing of keratinous fibers
containing at
     least an oxidation base and at least a coupler of the type
     6-alkoxy-2,3-diaminopyridine, the use of this composition for the dyeing
of
     keratinous fibers as well as the process of dyeing implementing this
     composition are disclosed.
6-Methoxy-2-pyrrolidin-1-yl-pyridin-3-ylamine (I)
     was prepared by the hydrogenation of 6-methoxy-3-nitro-2-pyrrolidin-1-
     ylpyridine in the presence of Pd/C.  A hair dye composition contained
     p-phenylene diamine 5.10-3, I 5.10-3, excipients and water q.s. 100 g.
IT   577980-59-7
     RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
        (new alkoxyaminopyridine couplers for dyeing keratin fibers)
RN   577980-59-7  CAPLUS
CN   3-Pyridinamine, 6-methoxy-2-(4-methyl-1-piperazinyl)- (9CI)  (CA INDEX
     NAME)
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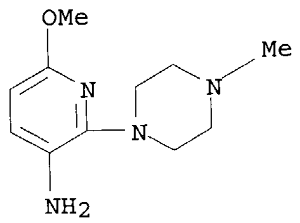

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IT   577980-48-4P
     RL: COS (Cosmetic use); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (new alkoxyaminopyridine couplers for dyeing keratin fibers)
RN   577980-48-4  CAPLUS
CN   3-Pyridinamine, 6-methoxy-2-(4-methyl-1-piperazinyl)-, dihydrochloride
     (9CI)  (CA INDEX NAME)
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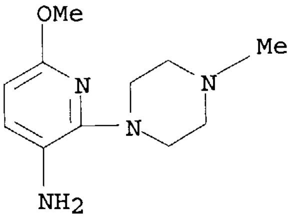

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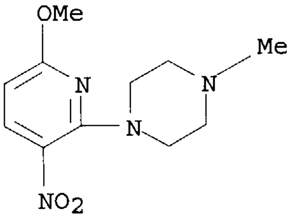
IT 577980-49-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(new alkoxyaminopyridine couplers for dyeing keratin fibers)
RN 577980-49-5 CAPLUS
CN Piperazine, 1-(6-methoxy-3-nitro-2-pyridinyl)-4-methyl- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L10  ANSWER 3 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:906181  CAPLUS
DN   138:4617
TI   Substituted 1-benzyl-4-arylpiperazine analogs as melanin concentrating
     hormone receptor ligands
IN   Hutchison, Alan; Peterson, John; Doller, Dario; Gustavson, Linda E.;
     Caldwell, Timothy; Yoon, Taeyoung; Pringle, Wallace; Bakthavatchalam,
     Rajagopal; Shen, Yiping; Steenstra, Cheryl; Yin, Helen; De, Simone
Robert;
     He, Xiao-shu
PA   Neurogen Corporation, USA; et al.
SO   PCT Int. Appl., 133 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.       KIND  DATE          APPLICATION NO.  DATE
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PI   WO 2002094799     A2   20021128      WO 2002-US15979  20020521
     WO 2002094799     A3   20031106
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1389189        A2   20040218       EP 2002-746423   20020521
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI US 2001-292719P   P    20010522
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OS   MARPAT 138:4617
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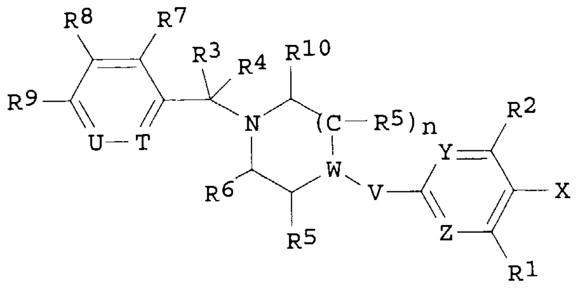

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AB   Title compds. I [T, U = N, O, (un)substituted CH; V = bond, CO; W = N,
CH,
     C(OH), C(CN); X = halogen, OH, NO2, CN, O, (un)substituted NH2, OH, SO2H,
     SO2NH2, CONH2, NHCHO; Y, Z = CH, N; YR5 ZR5 = atoms required to complete
a
```

carbcyclic or heterocyclic ring; n = 1, 2; R1, R2, R7, R8, R9 = H, halogen, OH, NO2, CN, O, (un)substituted NH2, OH, SO2H, SO2NH2, CONH2, NHCHO; R3 = H, alkyl, alkenyl, haloalkyl; R3T = atoms required to complete a carbocyclic or heterocyclic ring; R4 = H, alkyl, haloalkyl; R5, R6 = H, halogen, OH, NO2, CN, NH2, O, alkyl, alkenyl, alkoxy, haloalkyl, haloalkoxy, aminoalkyl; R10 = H, halogen, OH, NO2, CN, alkyl, alkenyl, alkynyl, alkoxy, haloalkyl, haloalkoxy, (un)substituted NH2; R7R10 = atoms required to form a ring] were prepared for use as melanin concentrating hormone receptor ligands. Such ligands may be used to modulate MCH binding to MCH receptors in vivo or in vitro, and are particularly useful in the treatment of a variety of metabolic, feeding and sexual disorders in humans, domesticated companion animals and livestock animals. Thus, 1-(5-bromo-6-methoxypyridin-2-yl)piperazine was reductively alkylated with 3,4-(MeO)2C6H3CHO to give the 4-(3,4-dimethoxybenzyl) derivative

IT **476322-70-0P 476460-63-6P 476688-92-3P**
476688-93-4P 476689-01-7P 476689-08-4P
476689-10-8P 476689-11-9P 477192-37-3P
477192-63-5P 477201-33-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 1-benzyl-4-arylpiperazine analogs as melanin concentrating hormone receptor ligands)

RN 476322-70-0 CAPLUS
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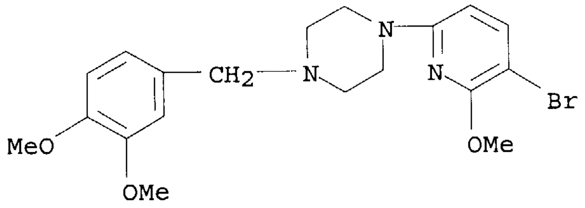

RN 476460-63-6 CAPLUS
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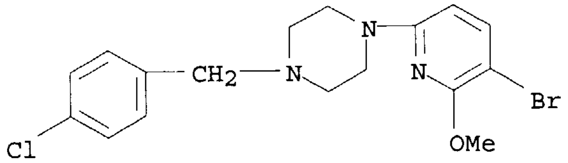

RN 476688-92-3 CAPLUS
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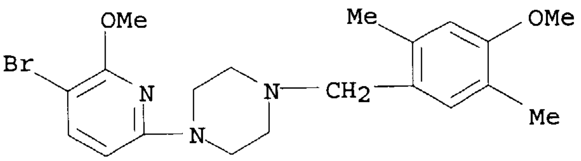

RN 476688-93-4 CAPLUS
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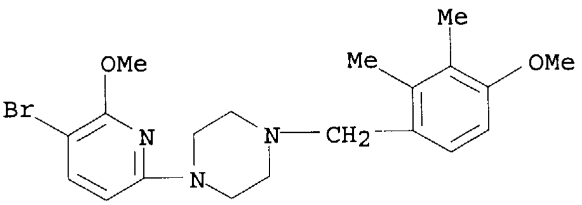
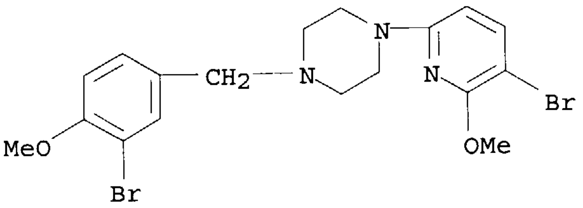


RN 476689-01-7 CAPLUS
CN Piperazine, 1-[(3-bromo-4-methoxyphenyl)methyl]-4-(5-bromo-6-methoxy-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 476689-08-4 CAPLUS
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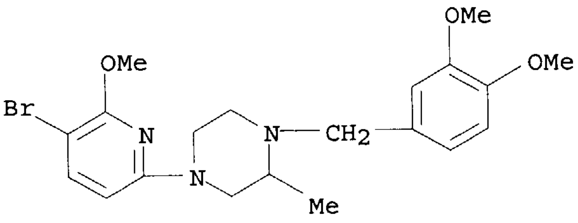

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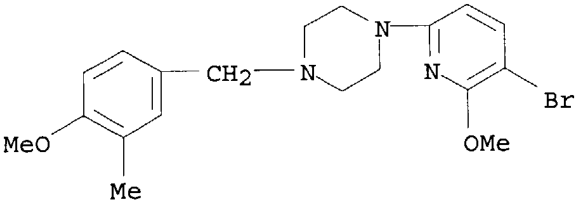

RN 476689-11-9 CAPLUS
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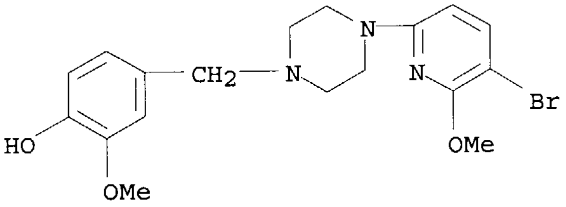

RN 477192-37-3 CAPLUS
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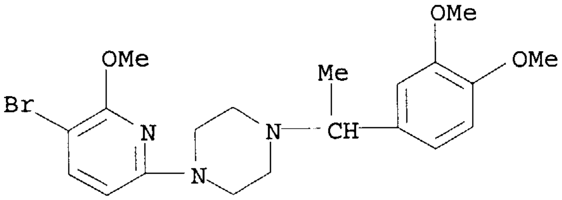
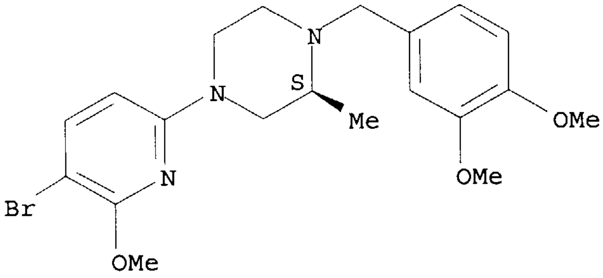


RN 477192-63-5 CAPLUS
CN Piperazine, 4-(5-bromo-6-methoxy-2-pyridinyl)-1-[(3,4-dimethoxyphenyl)methyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477201-33-5 CAPLUS
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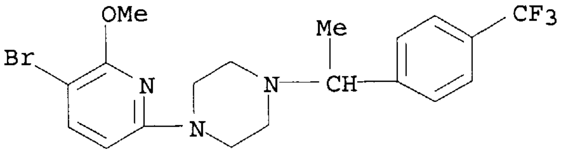
OMe
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N
N
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Me
CH
CF3

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L10  ANSWER 4 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:869583  CAPLUS
DN   137:353027
TI   Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related
     compounds that are useful in treating sexual dysfunction
IN   Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew
     O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey
PA   USA
SO   U.S. Pat. Appl. Publ., 53 pp.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
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PI   US 2002169167      A1   20021114      US 2002-94265      20020308
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     WO 2003076431      A1   20030918      WO 2003-US6406     20030304
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             GW, ML, MR, NE, SN, TD, TG
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PRAI US 2001-274805P    P    20010309
     US 2001-296078P    P    20010605
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     US 2002-94265      A2   20020308
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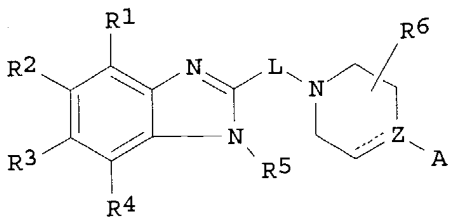

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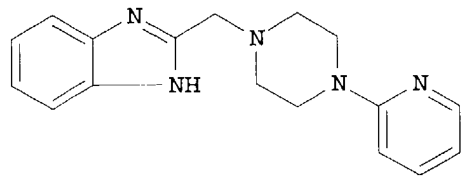


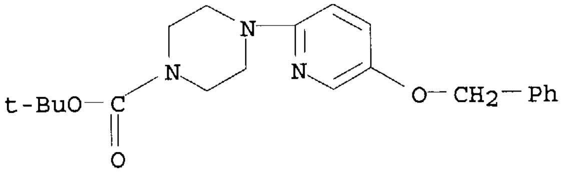
II

AB Title compds. (I) [wherein A = (un)substituted Ph, pyridinyl, pyrimidinyl, thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un)substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un)substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepared as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the solution stirred at 20° for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 μmol/kg without inducing emesis.

IT **474417-49-7P**, tert-Butyl 4-[5-(benzyloxy)pyridin-2-yl]piperazine-1-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 474417-49-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-(phenylmethoxy)-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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L10  ANSWER 5 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:869582  CAPLUS
DN   137:353026
TI   Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related
     compounds that are useful in treating sexual dysfunction
IN   Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew
     O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey
PA   USA
SO   U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 874,484.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 3
     PATENT NO.        KIND  DATE           APPLICATION NO.   DATE
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PI   US 2002169166      A1   20021114       US 2001-17939     20011214
     US 2003008878      A1   20030109       US 2001-874484    20010605
     WO 2002088093      A1   20021107       WO 2002-US7791    20020306
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
TM
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             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1373220         A1   20040102       EP 2002-731130    20020306
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     NO 2003003959      A    20031110       NO 2003-3959      20030908
PRAI US 2001-803537     B2   20010309
     US 2001-874484     A2   20010605
     US 2001-17939      A    20011214
     WO 2002-US7791     W    20020306
OS   MARPAT 137:353026
GI
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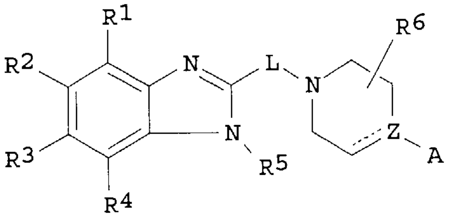

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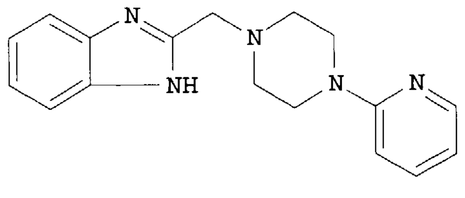


II

AB Title compds. (I) [wherein A = (un)substituted Ph, pyridinyl, pyrimidinyl, thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un)substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un)substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepared as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the solution stirred at 20° for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 μmol/kg without inducing emesis.

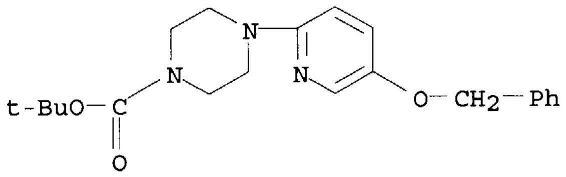
IT **474417-49-7P**, tert-Butyl 4-[5-(benzyloxy)pyridin-2-yl]piperazine-1-carboxylate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 474417-49-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-(phenylmethoxy)-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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L10  ANSWER 6 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:849600  CAPLUS
DN   137:353023
TI   Preparation of 2-heterocycloalkyl-benzimidazole derivatives for treating
     sexual dysfunction
IN   Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew
     O.; Kolasa, Teodozyj; Rohde, Jeffrey J.; Patel, Meena V.; Brioni, Jorge
D.
PA   Abbott Laboratories, USA
SO   PCT Int. Appl., 115 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 3
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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PI   WO 2002088093      A1   20021107      WO 2002-US7791   20020306
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003008878      A1   20030109      US 2001-874484   20010605
     US 2002169166      A1   20021114      US 2001-17939    20011214
     EP 1373220         A1   20040102      EP 2002-731130   20020306
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     NO 2003003959      A    20031110      NO 2003-3959     20030908
PRAI US 2001-803537     A    20010309
     US 2001-874484     A    20010605
     US 2001-17939      A    20011214
     WO 2002-US7791     W    20020306
OS   MARPAT 137:353023
GI
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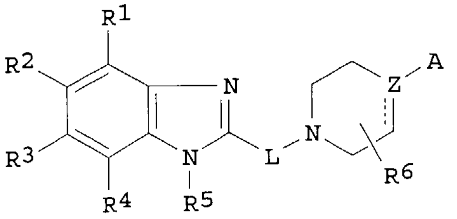
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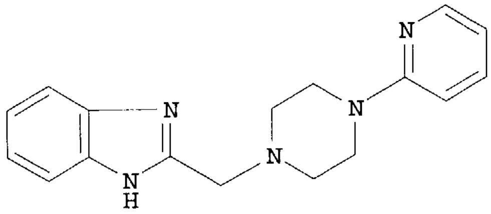
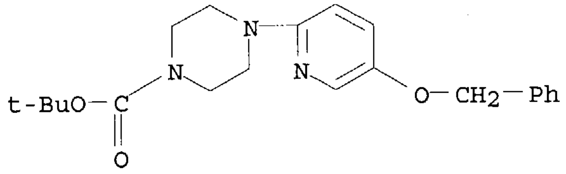

II

AB The present invention relates to the use of I [A = Ph, pyridyl, pyrimidinyl, thiophenyl, etc.; X = NH, O, S; L = (CH2)1-4; R1-4 = H, alkoxy, alkenyl, alkyl, alkylsulfinyl, etc.; R5 = H, alkoxycarbonyl, alkyl, alkylcarbonyl, arylcarbonyl, etc.; R6 = H, alkyl; Z = N, C (if dotted line is a bond), CH (if dotted line is absent)] for the treatment of sexual dysfunction. For instance, 1-(2-pyridyl)piperazine was reacted with 2-chloromethylbenzimidazole to afford II which was isolated and converted to the maleate salt. II at s.c. doses of 0.01 - 0.10 μmol/kg induced statistically significant penile erections in rats compared to vehicle (L-ascorbic acid in saline).

IT **474417-49-7P**, tert-Butyl 4-[5-(benzyloxy)pyridin-2-yl]piperazine-1-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-piperazino/piperidino-alkyl-benzimidazole derivs. for treating sexual dysfunction)

RN 474417-49-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-(phenylmethoxy)-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L10  ANSWER 7 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:847530  CAPLUS
DN   137:337921
TI   4-(2-Pyridyl)piperazines having 5HT7 receptor agonist activity
IN   Parikh, Vinod Dipak; Welch, Willard McKowan, Jr.
PA   Pfizer Products Inc., USA
SO   Eur. Pat. Appl., 19 pp.
     CODEN: EPXXDW
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.       KIND  DATE          APPLICATION NO.   DATE
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PI   EP 1254905        A1   20021106      EP 2002-252903    20020424
     EP 1254905        B1   20031217
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     US 2003008880     A1   20030109      US 2002-75910     20020213
     AT 256678         E    20040115      AT 2002-252903    20020424
     PT 1254905        T    20040331      PT 2002-252903    20020424
     BR 2002001569     A    20030610      BR 2002-1569      20020502
     US 2004014769     A1   20040122      US 2003-617967    20030710
PRAI US 2001-288178P   P    20010502
     US 2001-289466P   P    20010508
     US 2002-75910     B1   20020213
OS   MARPAT 137:337921
GI
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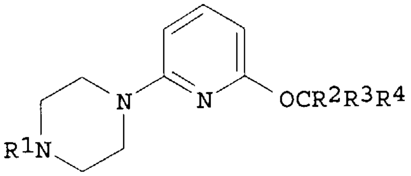

I

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AB   Title compds. I [R1 = H, (un)substituted alkyl, aryl, heteroaryl; R2, R3
=
     H, alkyl; CR2R3 = cycloalkylene; R4 = (un)substituted pyridyl,
     benzopyridyl] were prepared  I are 5HT7 partial agonists and are useful
in
     the treatment of several disorders of the central nervous system (no
     data).  Thus, 3-pyridylmethanol was treated with 2,6-dibromopyridine,
     followed by piperazine to give I [R1-R3 = H, R4 = 3-pyridyl].
IT   474053-38-8P 474053-40-2P 474053-42-4P
     474053-46-8P 474053-48-0P 474053-59-3P
     474053-90-2P 474053-94-6P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (preparation of 4-(2-pyridyl)piperazines having 5HT7 receptor agonist
        activity)
RN   474053-38-8  CAPLUS
CN   1-Piperazinepropanol, 4-[6-(4-pyridinylmethoxy)-2-pyridinyl]- (9CI)  (CA
     INDEX NAME)
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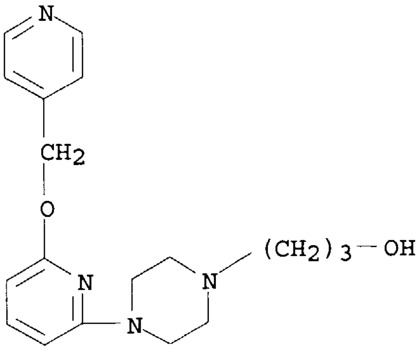
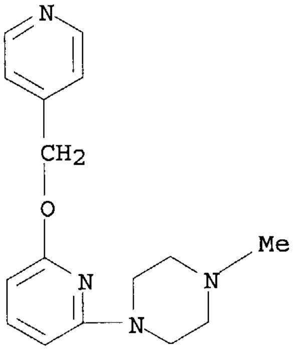
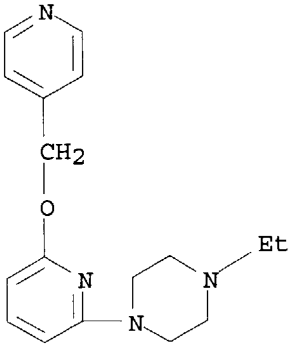


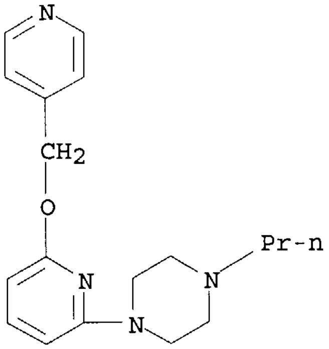
RN 474053-40-2 CAPLUS
CN Piperazine, 1-methyl-4-[6-(4-pyridinylmethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)



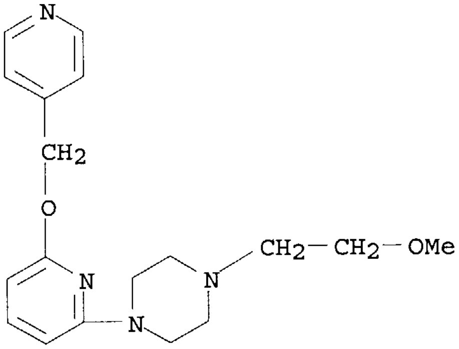
RN 474053-42-4 CAPLUS
CN Piperazine, 1-ethyl-4-[6-(4-pyridinylmethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)



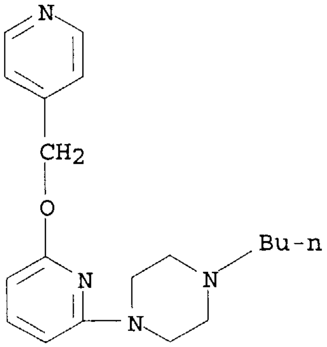
RN 474053-46-8 CAPLUS
CN Piperazine, 1-propyl-4-[6-(4-pyridinylmethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 474053-48-0 CAPLUS
CN Piperazine, 1-(2-methoxyethyl)-4-[6-(4-pyridinylmethoxy)-2-pyridinyl]-
(9CI) (CA INDEX NAME)

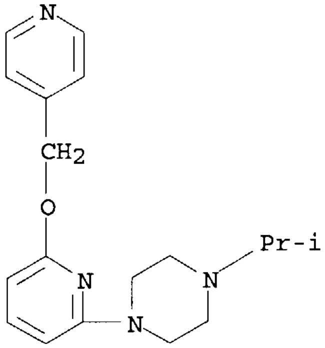


RN 474053-59-3 CAPLUS
CN Piperazine, 1-butyl-4-[6-(4-pyridinylmethoxy)-2-pyridinyl]- (9CI) (CA
INDEX NAME)

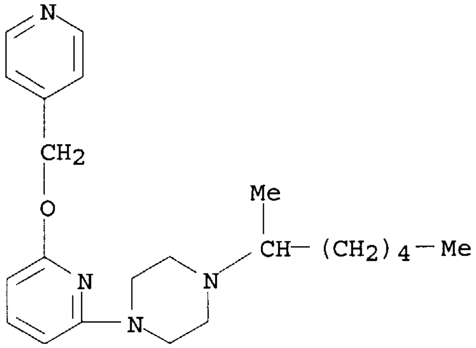


RN 474053-90-2 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[6-(4-pyridinylmethoxy)-2-pyridinyl]-

(9CI) (CA INDEX NAME)



RN 474053-94-6 CAPLUS
CN Piperazine, 1-(1-methylhexyl)-4-[6-(4-pyridinylmethoxy)-2-pyridinyl]-
(9CI) (CA INDEX NAME)

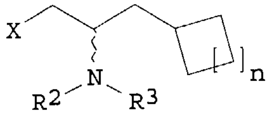


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:309881 CAPLUS
DN 136:325567
TI Preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents
IN Childers, Wayne E.; Kelly, Michael G.; Palmer, Yvette L.; Podlesny, Edward J.
PA American Home Products Corporation, USA
SO U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 333,158, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6376494	B1	20020423	US 2000-723478	20001128
	US 2002156075	A1	20021024	US 2002-107866	20020327
	US 6518272	B2	20030211		
	US 2003139449	A1	20030724	US 2003-340087	20030110
PRAI	US 1998-135107P	P	19980615		
	US 1999-333158	B2	19990614		
	US 2000-723478	A3	20001128		
	US 2002-107866	A3	20020327		

OS MARPAT 136:325567
GI



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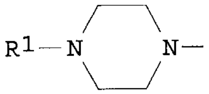

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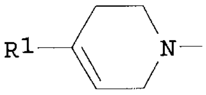
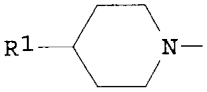


III



IV

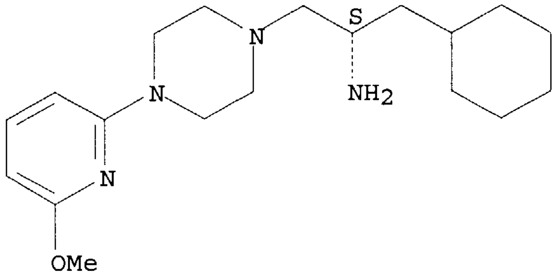
AB The title compds. [I; X = II-IV; n = 1-5; R1 = (un)substituted C6-10-aryl or mono or bicyclic heteroaryl, with a proviso that heteroaryl is not thiadiazole; R2 = H, alkyl; R3 = H, COR5, CO2R5, CONR5R6; R4 = H, alkyl, alkenyl, etc.; R5, R6 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts which have activity as 5-HT1A agonists and antagonists and therefore may be useful for the treatment of anxiety and depression, were prepared Thus, reacting {(1R)-1-cyclohexylmethyl-2-[4-(2-methoxyphenyl)piperazin-1-yl]ethyl}amine with cyclohexanecarboxylic acid chloride in the presence of Et3N in CH2Cl2 afforded 85% (1R)-I.2HCl [X = II (R1 = 2-MeOC6H4); n = 3; R2 = H; R3 = cyclohexylcarbonyl] which showed IC50 of 0.57 nM against 5-HT1A receptor binding.

IT **413598-01-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cycloalkyl-substituted aryl-piperazines, piperidines and tetrahydropyridines as serotonergic agents)

10/677,240

RN 413598-01-3 CAPLUS
CN 1-Piperazineethanamine, α-(cyclohexylmethyl)-4-(6-methoxy-2-pyridinyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L10  ANSWER 9 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:293427  CAPLUS
DN   136:325574
TI   Preparation of piperazine, homopiperazine, and 8-azabicyclo[3.2.1]oct-2-
     ene, and 3,9-diazabicyclo[4.2.1]nonane derivatives for treatment of
     affective disorders by the combined action of a nicotinic receptor
agonist
     and a monoaminergic substance
IN   Olsen, Gunnar M.; Peters, Dan; Nielsen, Elsebet Ostergaard
PA   Neurosearch A/S, Den.
SO   PCT Int. Appl., 31 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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PI   WO 2002030405      A2   20020418      WO 2001-DK661    20011010
     WO 2002030405      A3   20020906
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2001095436      A5   20020422      AU 2001-95436    20011010
     EP 1358177         A2   20031105      EP 2001-976043   20011010
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2004510813      T2   20040408      JP 2002-533848   20011010
     US 2004092508      A1   20040513      US 2003-380653   20030317
PRAI DK 2000-1535       A    20001013
     US 2000-242146P    P    20001023
     WO 2001-DK661      W    20011010
OS   MARPAT 136:325574
GI
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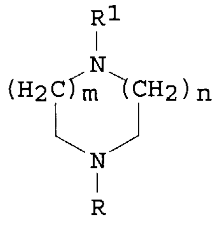

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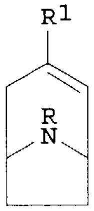

II

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AB   This invention relates to use of the combined action of a nicotinic
     acetylcholine receptor agonist and a monoamine reuptake inhibitor for the
     treatment of affective disorders including depression, anxiety, obsessive
     compulsive disorder (OCD), panic disorder, or pain, as well as to
     pharmaceutical compns. comprising these substances and chemical
substances
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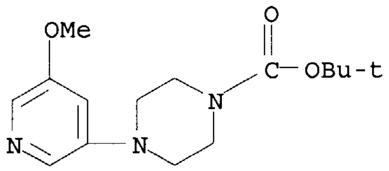
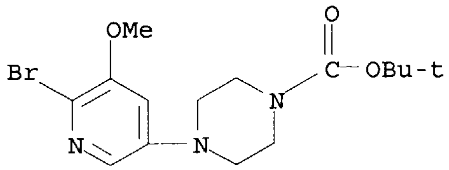
for use according to the invention. The chemical substances are represented by piperazine and homopiperazine derivs. (I; n = 1,2,3; m = 0,1,2; R = H, alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, acyl, benzyl; R1 = 5-bromo-3-pyridyl, 6-chloro-3-pyridyl, 6-bromo-5-methoxy-3-pyridyl, 6-bromo-3-pyridyl, 6-bromo-5-chloro-3-pyridyl, 5,6-dibromo-3-pyridyl, etc.) and 8-azabicyclo[3.2.1]oct-2-ene derivs. (II; R = H, alkyl, alkenyl, cycloalkyl, cyanoalkyl, Ph, naphthyl, benzyl; R1 = CHO, alkanoyl, cycloalkanoyl, carbamoyl, furanyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, imidazolyl, pyridyl, pyrimidinyl, thiazolyl, naphthyl, indolyl, benzofuranyl, etc.). Thus, 1-(6-Chloro-3-pyridyl)piperazine (III) (0.3, 1, 3, 10 mg/kg s.c.) was tested in the mouse forced swim test which is considered predictive of a potential antidepressant pharmacol. effect and it did not affect forced swimming with a 30 min pretreatment. However, the combination of venlafaxine and III (1+3; 3+3; 10+1; 10+3 mg/kg s.c.) significantly increased the forced swimming in NMRI mice.

IT **412347-35-4P**, 4-tert-Butoxycarbonyl-1-(5-methoxy-3-pyridyl)piperazine **412347-36-5P**, 4-tert-Butoxycarbonyl-1-(6-bromo-5-methoxy-3-pyridyl)piperazine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazine, homopiperazine, azabicyclo[3.2.1]octene, and diazabicyclo[4.2.1]nonane derivs. for treatment of affective disorders)

RN 412347-35-4 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(5-methoxy-3-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 412347-36-5 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-(6-bromo-5-methoxy-3-pyridinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



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L10  ANSWER 10 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:90039  CAPLUS
DN   136:134792
TI   Preparation of diarylpiperazines as capsaicin receptor ligands
IN   Bakthavatchalam, Rajagopal
PA   Neurogen Corporation, USA; Hutchison, Alan; Desimone, Robert W.;
Hodgetts,
     Keven J.; Krause, James E.; White, Geoffrey G.
SO   PCT Int. Appl., 209 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   WO 2002008221      A2   20020131      WO 2001-US22930  20010720
     WO 2002008221      A3   20020711
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2002132853      A1   20020919      US 2001-910442   20010720
     US 6723730         B2   20040420
     EP 1301484         A2   20030416      EP 2001-959075   20010720
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2001012631      A    20030923      BR 2001-12631    20010720
PRAI US 2000-219529P    P    20000720
     US 2000-230726P    P    20000907
     US 2001-280223P    P    20010330
     WO 2001-US22930    W    20010720
OS   MARPAT 136:134792
AB   Disclosed are diaryl piperazines and related compds. represented by
     general formula Ar1-A-C(:Z)-NR1-CR3R4-CR3R4-N(R2)Ar2 [I; A = absent, O,
S,
     NRA, CRBRB', NRACRBRB', CRBRB'NRA, -CRA:CRB-, C3H4 (wherein RA, RB, RB' =
     H, alkyl); Z = O, S; R1, R2 = H, alkyl; R3, R4 = H, halo, HO, NH2, cyano,
     NO2, CO2H, CHO, each optionally substituted alkyl, alkenyl, alkynyl,
     alkoxy, mono or dialkylamino, alkylthio, alkyl ketone, alkyl ester,
     alkylsulfinyl, alkylsulfonyl, mono- or dialkylcarboxamide,
     -S(O)nNH(alkyl), -S(O)nN(alkyl)(alkyl), -NHCO(alkyl), NHCO(alkyl)(alkyl),
     -NHS(O)(alkyl), -NS(O)n(alkyl)(alkyl), substituted saturated or partially
     unsatd. heterocycloalkyl of from 5 to 8 atoms containing 1, 2, or 3
     heteroatoms selected from N, O, and S, aryl having from 1 to 3 rings, or
     heteroaryl; or any two R3 and R4 not attached to the same carbon may be
     joined to form an each optionally substituted aryl ring, a saturated or
     partially unsatd. carbocyclic ring of from 5 to 8 members, or a
saturated,
     partially unsatd., or aromatic heterocyclic ring of from 5 to 8 members
     containing 1, 2, or 3 heteroatoms selected from N, O, and S; Ar1, Ar2 =
     optionally substituted cycloalkyl, heterocycloalkyl, or heteroaryl; n =
0,
     1, and 2].  These compds. are selective modulators, in particular
     antagonists, of capsaicin receptors, including human capsaicin receptors,
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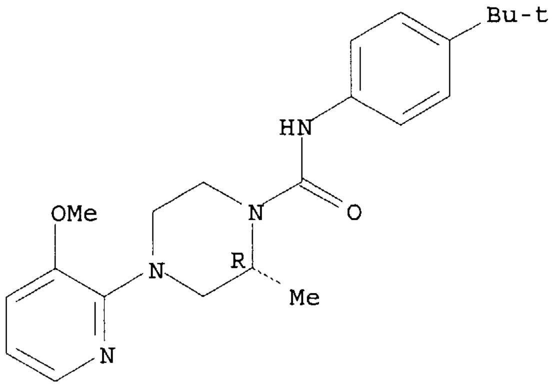
and are, therefore, useful in the treatment of a chronic and acute pain conditions, itch and urinary incontinence. The above pain is associated with a condition selected from the group consisting of postmastectomy pain syndrome, stump pain, phantom limb pain, oral neuropathic pain, Charcot's pain, toothache, venomous snake bite, spider bite, insect sting, postherpetic neuralgia, diabetic neuropathy, reflex sympathetic dystrophy, trigeminal neuralgia, osteoarthritis, rheumatoid arthritis, fibromyalgia, Guillain-Barre syndrome, meralgia paresthetica, burning-mouth syndrome, bilateral peripheral neuropathy, causalgia, sciatic neuritis, peripheral neuritis, polyneuritis, optic neuritis, postfebrile neuritis, migrating neuritis, segmental neuritis, Gombault's neuritis, neuronitis, cervicobrachial neuralgia, cranial neuralgia, geniculate neuralgia, glossopharyngial neuralgia, migrainous neuralgia, idiopathic neuralgia, intercostals neuralgia, mammary neuralgia, mandibular joint neuralgia, Morton's neuralgia, nasociliary neuralgia, occipital neuralgia, red neuralgia, Sluder's neuralgia, splenopalatine neuralgia, supraorbital neuralgia, vidian neuralgia, sinus headache, tension headache, labor, childbirth, intestinal gas, menstruation, cancer, and trauma. Methods of treatment of such disorders as well as packaged pharmaceutical compns. are also provided. Compds. of the invention are also useful as probes for the localization of capsaicin receptors and as stds. in assays for capsaicin receptor binding and capsaicin receptor mediated cation conductance. Thus,202 mg Et_3N was added to a mixture of 212 mg (R)-1-(3-Chloropyridin-2-yl)-3-methylpiperazine and 269 mg (4-sec-Butylphenyl)carbamic acid Ph ester in $CHCl_3$ and refluxed for 4 h to give (R)-4-(3-Chloropyridin-2-yl)-2-methylpiperazine-1-carboxylic acid (4-sec-butylphenyl)amide. Compds. I. e.g. N-(4-tert-butylphenyl)-4-(3-chloropyridin-2-yl)piperazine-1-carboxamide, in vitro showed EC50 of <1 μM in an antagonist assay for capsaicin receptor-mediated calcium mobilization using human embryonic kidney (HEK293) cells transfected with a pcDNA3.1 encoding the full length human capsaicin receptor. Methods of using the compds. in receptor localization studies are given.

IT **393514-59-5P 393514-60-8P 393514-97-1P 393514-99-3P 393515-01-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diarylpiperazines as capsaicin receptor ligands for therapeutic agents)

RN 393514-59-5 CAPLUS

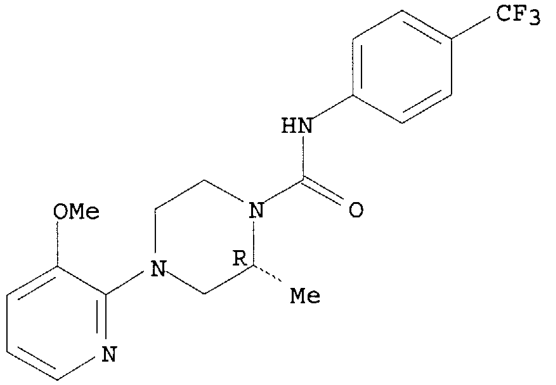
CN 1-Piperazinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-4-(3-methoxy-2-pyridinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



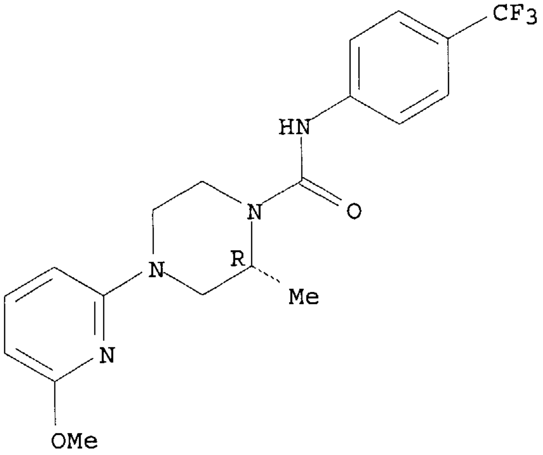
RN 393514-60-8 CAPLUS
CN 1-Piperazinecarboxamide, 4-(3-methoxy-2-pyridinyl)-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



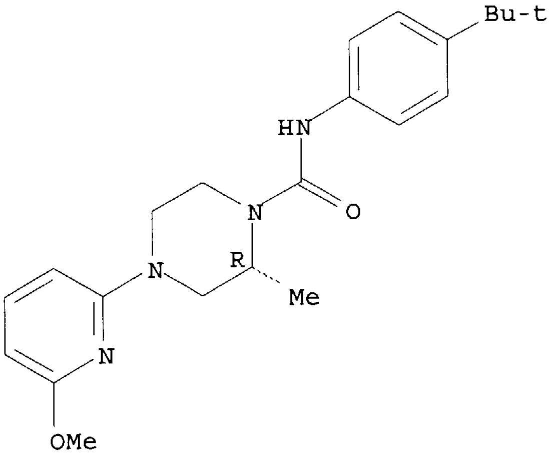
RN 393514-97-1 CAPLUS
CN 1-Piperazinecarboxamide, 4-(6-methoxy-2-pyridinyl)-2-methyl-N-[4-(trifluoromethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



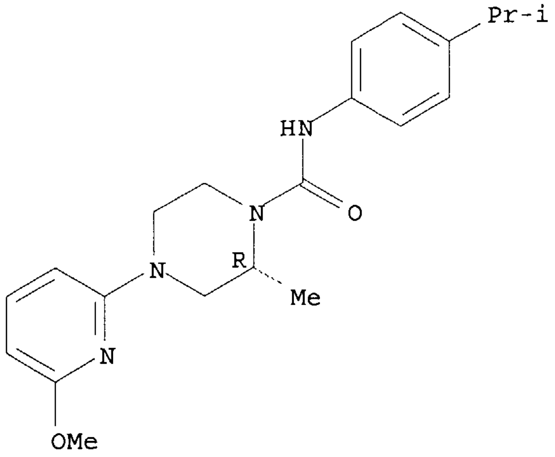
RN 393514-99-3 CAPLUS
CN 1-Piperazinecarboxamide, N-[4-(1,1-dimethylethyl)phenyl]-4-(6-methoxy-2-pyridinyl)-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 393515-01-0 CAPLUS
CN 1-Piperazinecarboxamide, 4-(6-methoxy-2-pyridinyl)-2-methyl-N-[4-(1-methylethyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


Pr-i
HN
N
O
N
R
Me
N
OMe

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L10  ANSWER 11 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2000:772619  CAPLUS
DN   133:321908
TI   Heteroaryl diazacycloalkanes, their preparation, and their use as
     nicotinic acetylcholine receptor ligands
IN   Nielsen, Simon Feldbaek; Peters, Dan; Nielsen, Elsebet Ostergaard; Olsen,
     Gunnar M.
PA   Neurosearch A/S, Den.
SO   PCT Int. Appl., 70 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   WO 2000064885     A1    20001102      WO 2000-DK202    20000419
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
             ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2000041006     A5    20001110      AU 2000-41006    20000419
     NZ 514021         A     20010928      NZ 2000-514021   20000419
     EP 1175416        A1    20020130      EP 2000-920421   20000419
     EP 1175416        B1    20030716
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002543070     T2    20021217      JP 2000-614237   20000419
     AT 245153         E     20030815      AT 2000-920421   20000419
     US 2002045618     A1    20020418      US 2001-934531   20010823
PRAI DK 1999-571       A     19990426
     DK 1999-1504      A     19991020
     WO 2000-DK202     W     20000419
OS   MARPAT 133:321908
GI
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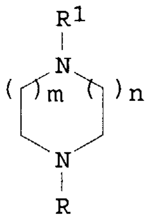

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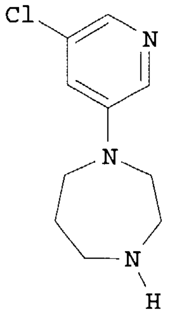


II

AB   The invention relates to novel heteroaryl diazacycloalkane derivs. I and their enantiomers, N-oxides, salts, and/or labeled forms [wherein: n = 1, 2, 3; m = 0, 1, 2; R = H, alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, aralkyl, alkoxypyridyl, alkenyloxypyridyl, or bridging moiety to form a dimer; R1 = (un)substituted monocyclic 5- or 6-membered heterocyclyl or bicyclic heterocyclyl; or R = R1 = (un)substituted monocyclic 5- or 6-membered heterocyclyl]. The compds. are cholinergic ligands at nicotinic acetylcholine receptors, and may be useful for the treatment of a diversity of diseases and disorders, e.g., those related to the CNS cholinergic system, smooth muscle contraction, the endocrine system, neurodegeneration, inflammation, pain, and substance abuse withdrawal symptoms. A large number of compds., mostly homopiperazine derivs., were prepared and/or claimed. For instance, neat reaction of 3,5-dichloropyridine with homopiperazine at 150° gave title compound II in 40% yield. Similar compds. inhibited binding of 3H-epibatidine to rat brain nicotinic receptors with IC50 values as low as 0.001 μM.

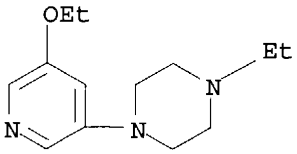
IT **223795-40-2P**, 1-(5-Ethoxy-3-pyridyl)-4-ethylpiperazine **223795-41-3P**, 1-(5-Ethoxy-3-pyridyl)-4-ethylpiperazine fumaric acid salt **303159-77-5P**, 1-(5-Methoxy-3-pyridyl)-4-ethylpiperazine **303159-79-7P**, 4-Methyl-1-(5-propyloxy-3-pyridyl)piperazine **303160-85-2P**, 1-(5-Pentyloxy-3-pyridyl)-4-methylpiperazine fumaric acid salt **303160-87-4P**, 1-[5-(trans-Hex-2-en-1-yloxy)-3-pyridyl]-4-methylpiperazine fumaric acid salt **303160-90-9P**, 1-(5-Methoxy-3-pyridyl)-4-ethylpiperazine fumaric acid salt **303160-92-1P**, 4-Ethyl-1-(5-propyloxy-3-pyridyl)piperazine fumaric acid salt

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heteroaryldiazacycloalkanes as nicotinic acetylcholine receptor ligands)

RN 223795-40-2 CAPLUS

CN Piperazine, 1-(5-ethoxy-3-pyridinyl)-4-ethyl- (9CI) (CA INDEX NAME)

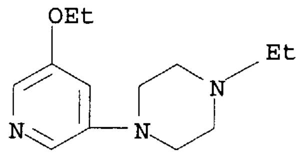


RN 223795-41-3 CAPLUS

CN Piperazine, 1-(5-ethoxy-3-pyridinyl)-4-ethyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

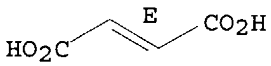
CRN 223795-40-2
CMF C13 H21 N3 O



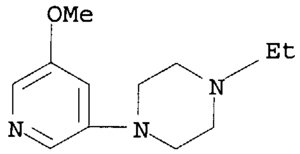
CM 2

CRN 110-17-8
CMF C4 H4 O4

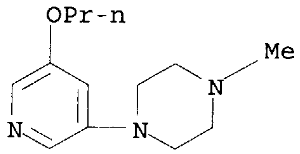
Double bond geometry as shown.



RN 303159-77-5 CAPLUS
CN Piperazine, 1-ethyl-4-(5-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



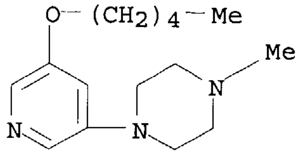
RN 303159-79-7 CAPLUS
CN Piperazine, 1-methyl-4-(5-propoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 303160-85-2 CAPLUS
CN Piperazine, 1-methyl-4-[5-(pentyloxy)-3-pyridinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

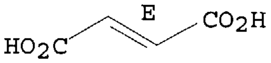
CRN 303160-84-1
CMF C15 H25 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

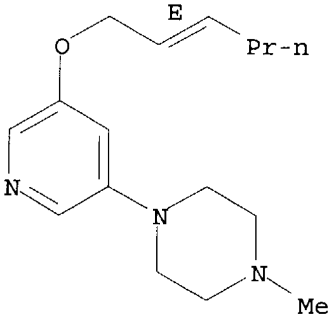


RN 303160-87-4 CAPLUS
CN Piperazine, 1-[5-[(2E)-2-hexenyloxy]-3-pyridinyl]-4-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 303160-86-3
CMF C16 H25 N3 O

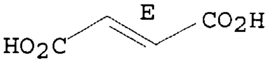
Double bond geometry as shown.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

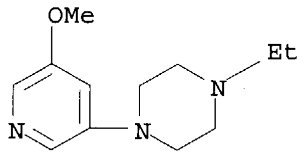


RN 303160-90-9 CAPLUS
CN Piperazine, 1-ethyl-4-(5-methoxy-3-pyridinyl)-, (2E)-2-butenedioate (1:1)

(9CI) (CA INDEX NAME)

CM 1

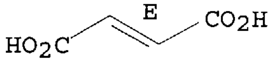
CRN 303159-77-5
CMF C12 H19 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

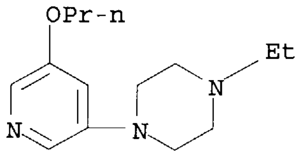
Double bond geometry as shown.



RN 303160-92-1 CAPLUS
CN Piperazine, 1-ethyl-4-(5-propoxy-3-pyridinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

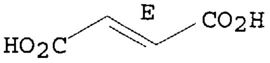
CRN 303160-91-0
CMF C14 H23 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD

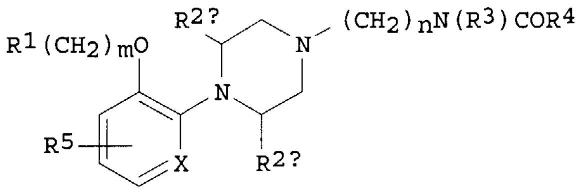
10/677,240

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:501813 CAPLUS
DN 133:115142
TI Therapeutic and prophylactic agents containing piperazine derivatives for mental and nervous disorders
IN Naruto, Shunji; Tonohiro, Toshiyuki; Sugimoto, Masahiko; Iwata, Nobuyoshi
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 87 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000204040	A2	20000725	JP 1999-7416	19990114
PRAI	JP 1999-7416		19990114		

OS MARPAT 133:115142
GI



I

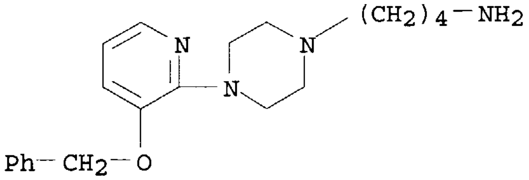
AB The agents, which serve as serotonin 1A receptor agonists and serotonin 2 receptor antagonists and are useful for treatment of dementia, delirium, hallucination, delusion, anxiety neurosis, depression, motion sickness, etc., contain the derivs. I [R1 = C6-14 aryl, 5-6-membered saturated 1-2 O-, N-, or S-containing heterocyclyl, 5-6-membered 1-2 O-, N-, or S-containing heteroaryl, there cyclic groups may be substituted with 1-3 halo, C1-6 alkyl, C1-6 alkoxy, (un)protected hydroxy; R2a, R2b, R3 = H, C1-6 alkyl; R4 = C6-14 aryl, 5-6-membered saturated 1-2 O-, N-, or S-containing heterocyclyl, 5-6-membered 1-2 O-, N-, or S-containing heteroaryl, there cyclic groups may be substituted with 1-3 halo, C1-6 alkyl, C1-6 alkoxy; R5 = H, halo, C1-6 alkyl, C1-6 alkoxy, C2-7 alkoxycarbonyl; m = 1-3; n = 1-6; X = C, N] or their pharmacol. acceptable salts. Oral administration of 1-methyl-1H-pyrrole-2-carboxylic acid 4-[4-(2-benzyloxyphenyl)piperazin-1-yl]butylamide dihydrochloride (II; preparation given) to mice suppressed scopolamine- or ketamine-induced wandering at min. effective concns. 3 and 3 mg/kg p.o., resp. Capsules and tablets containing II were also formulated.

IT **220139-55-9P 220139-57-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazine derivs. as 5-HT1A receptor agonists and 5-HT2

receptor antagonists for mental and nervous disorders)

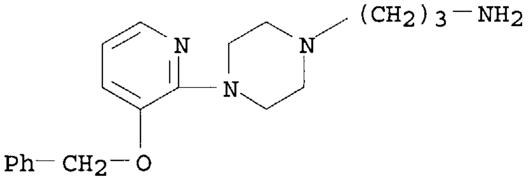
RN 220139-55-9 CAPLUS

CN 1-Piperazinebutanamine, 4-[3-(phenylmethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 220139-57-1 CAPLUS

CN 1-Piperazinepropanamine, 4-[3-(phenylmethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)



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L10  ANSWER 13 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1999:299480  CAPLUS
DN   130:325154
TI   Preparation of N-pyridylpiperazines and analogs as nicotinic receptor
     ligands
IN   Peters, Dan; Olsen, Gunnar M.; Nielsen, Simon Feldbaek; Nielsen, Elsebet
     Ostergaard
PA   Neurosearch A/S, Den.
SO   PCT Int. Appl., 68 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   WO 9921834         A1   19990506      WO 1998-DK465     19981027
         W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
             KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
             MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
             TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2306093         AA   19990506      CA 1998-2306093   19981027
     AU 9897368         A1   19990517      AU 1998-97368     19981027
     AU 744539          B2   20020228
     ZA 9809771         A    19990929      ZA 1998-9771      19981027
     EP 1027336         A1   20000816      EP 1998-951286    19981027
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     BR 9813279         A    20000822      BR 1998-13279     19981027
     TR 200001171       T2   20001023      TR 2000-20000117119981027
     EE 200000178       A    20010416      EE 2000-20000017819981027
     JP 2001521025      T2   20011106      JP 2000-517946    19981027
     NZ 503520          A    20020828      NZ 1998-503520    19981027
     RU 2205179         C2   20030527      RU 2000-110744    19981027
     CN 1131211         B    20031217      CN 1998-810601    19981027
     NO 2000002132      A    20000426      NO 2000-2132      20000426
     US 2004072823      A1   20040415      US 2003-677240    20031003
PRAI DK 1997-1225       A    19971027
     DK 1998-409        A    19980324
     DK 1998-796        A    19980619
     WO 1998-DK465      W    19981027
     US 2000-528176     A3   20000317
OS   MARPAT 130:325154
GI
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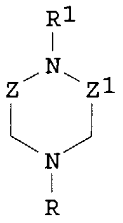
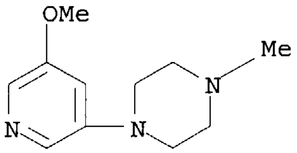


I

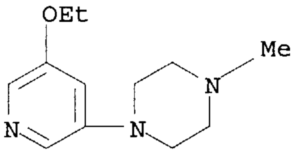
AB Title compds. [I; R = H, (cyclo)alkyl, aralkyl; R1 = C6H4R2 or (un)substituted heteroaryl; R2 = NH2, NO2, OH, alkoxy; Z = (CH2)0-2; Z1 = (CH2)1-3] were prepared Thus, 1-tert-butoxycarbonylpiperazine was N-arylated by 3-bromopyridine and the deprotected product N-methylated to give I (R = Me, R1 = 3-pyridyl, Z = Z1 = CH2). Data for biol activity of I were given.

IT **223794-36-3P 223794-57-8P 223794-58-9P**
223794-62-5P 223794-63-6P 223794-69-2P
223794-73-8P 223794-74-9P 223795-40-2P
223795-41-3P 223797-34-0P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-pyridylpiperazines and analogs as nicotinic receptor ligands)

RN 223794-36-3 CAPLUS
CN Piperazine, 1-(5-methoxy-3-pyridinyl)-4-methyl- (9CI) (CA INDEX NAME)



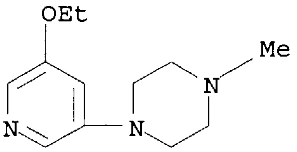
RN 223794-57-8 CAPLUS
CN Piperazine, 1-(5-ethoxy-3-pyridinyl)-4-methyl- (9CI) (CA INDEX NAME)



RN 223794-58-9 CAPLUS
CN Piperazine, 1-(5-ethoxy-3-pyridinyl)-4-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

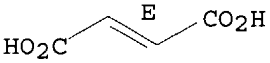
CRN 223794-57-8
CMF C12 H19 N3 O



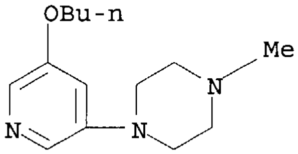
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



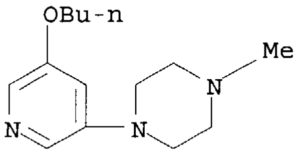
RN 223794-62-5 CAPLUS
CN Piperazine, 1-(5-butoxy-3-pyridinyl)-4-methyl- (9CI) (CA INDEX NAME)



RN 223794-63-6 CAPLUS
CN Piperazine, 1-(5-butoxy-3-pyridinyl)-4-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

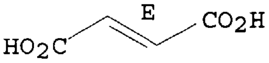
CRN 223794-62-5
CMF C14 H23 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

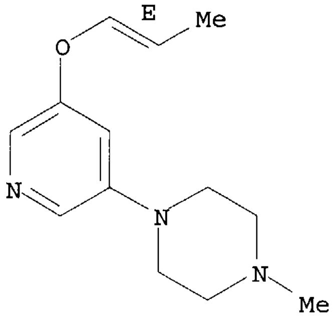


RN 223794-69-2 CAPLUS
CN Piperazine, 1-methyl-4-[5-[(1E)-1-propenyloxy]-3-pyridinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 223794-68-1
CMF C13 H19 N3 O

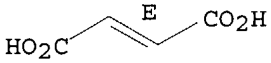
Double bond geometry as shown.



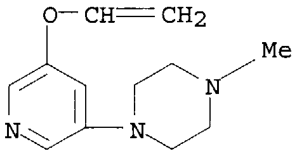
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



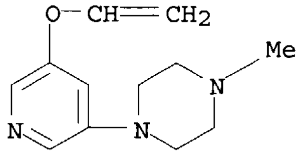
RN 223794-73-8 CAPLUS
CN Piperazine, 1-[5-(ethenyloxy)-3-pyridinyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 223794-74-9 CAPLUS
CN Piperazine, 1-[5-(ethenyloxy)-3-pyridinyl]-4-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

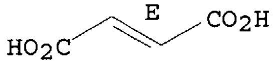
CRN 223794-73-8
CMF C12 H17 N3 O



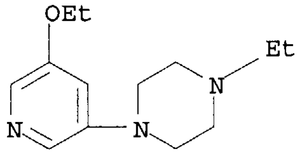
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



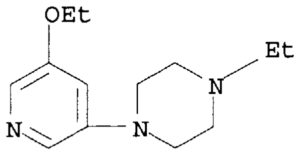
RN 223795-40-2 CAPLUS
CN Piperazine, 1-(5-ethoxy-3-pyridinyl)-4-ethyl- (9CI) (CA INDEX NAME)



RN 223795-41-3 CAPLUS
CN Piperazine, 1-(5-ethoxy-3-pyridinyl)-4-ethyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

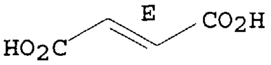
CRN 223795-40-2
CMF C13 H21 N3 O



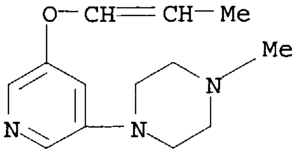
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 223797-34-0 CAPLUS
CN Piperazine, 1-methyl-4-[5-(1-propenyloxy)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L10  ANSWER 14 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1999:282213  CAPLUS
DN   130:296700
TI   Preparation of 1,2,4-triazin-3-ones as 5-HT1A agonists
IN   Patoiseau, Jean-Francois; Dupont-Passelaigue, Elisabeth; Koek, Wouter
PA   Pierre Fabre Medicament, Fr.
SO   PCT Int. Appl., 50 pp.
     CODEN: PIXXD2
DT   Patent
LA   French
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   WO 9920622         A1   19990429      WO 1998-FR2205   19981014
         W:  AU, BR, CA, CN, JP, MX, US
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE
     FR 2769912         A1   19990423      FR 1997-12955    19971016
     FR 2769912         B1   20000310
     CA 2306428         AA   19990429      CA 1998-2306428  19981014
     AU 9895457         A1   19990510      AU 1998-95457    19981014
     AU 761653          B2   20030605
     EP 1023287         A1   20000802      EP 1998-949061   19981014
     EP 1023287         B1   20020612
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
     BR 9812928         A    20000808      BR 1998-12928    19981014
     JP 2001520226      T2   20011030      JP 2000-516964   19981014
     AT 219076          E    20020615      AT 1998-949061   19981014
     PT 1023287         T    20021129      PT 1998-949061   19981014
     ES 2177063         T3   20021201      ES 1998-949061   19981014
     CN 1128147         B    20031119      CN 1998-811028   19981014
     US 6303603         B1   20011016      US 2000-529728   20000414
PRAI FR 1997-12955      A    19971016
     WO 1998-FR2205     W    19981014
OS   MARPAT 130:296700
GI
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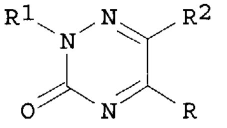

I

```
AB   Title compds. [I; R = ZR3; R1 = H, (phenyl)alkyl; R2 = H, alkyl,
     phenyl(alkyl); R3 = 4-aryl-1-piperazinylalkyl, etc.; Z = O or
     (methyl)imino] were prepared  Thus, I (R1 = Me, R2 = H)(II; R = SMe) was
     etherified by 4-[4-(2-pyrimidinyl)-1-piperazinyl]-1-butanol (preparation
each
     given) to give II [R = 4-[4-(2-pyrimidinyl)-1-piperazinyl]-1-butoxy].
     Data for biol. activity of I were given.
IT   223461-44-7
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of 1,2,4-triazin-3-ones as 5-HT1A agonists)
RN   223461-44-7  CAPLUS
CN   1-Piperazinebutanol, 4-(3-methoxy-2-pyridinyl)- (9CI)  (CA INDEX NAME)
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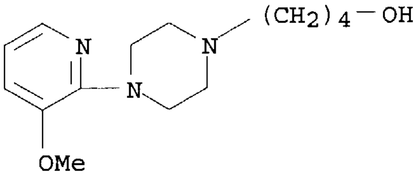

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L10  ANSWER 15 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1999:77543  CAPLUS
DN   130:139361
TI   Preparation of 1-[(acylamino)alkyl]piperazine derivatives having
excellent
     serotonin 5-HT2 receptor antagonism and serotonin 5-HT1A receptor agonism
IN   Naruto, Shunji; Tonohiro, Toshiyuki; Sugimoto, Masahiko; Iwata, Nobuyoshi
PA   Sankyo Company, Ltd., Japan
SO   PCT Int. Appl., 198 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 1
     PATENT NO.       KIND  DATE          APPLICATION NO.  DATE
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PI   WO 9903833        A1   19990128      WO 1998-JP3146   19980714
         W:  AU, BR, CA, CN, CZ, HU, ID, IL, KR, MX, NO, NZ, PL, RU, TR, US
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE
     AU 9881302        A1   19990210      AU 1998-81302    19980714
     JP 11080119       A2   19990326      JP 1998-198203   19980714
PRAI JP 1997-189606         19970715
     WO 1998-JP3146         19980714
OS   MARPAT 130:139361
GI
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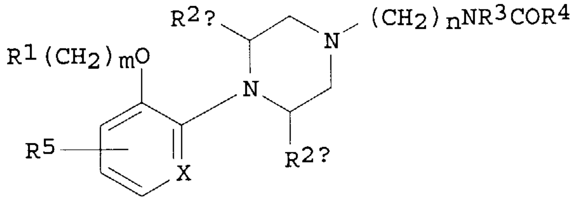

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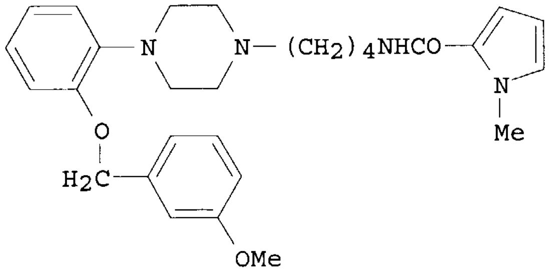


II

AB   Piperazine derivs. having general formula (I) or pharmacol. acceptable salts thereof (wherein R1 represents optionally substituted C6-14 aryl, optionally substituted 5- or 6-membered ring saturated heterocycle or optionally substituted heteroaryl; R2a, R2b, and R3 represent each hydrogen or C1-6 alkyl; R4 represents optionally substituted C6-14 aryl, optionally substituted 5- or 6-membered ring saturated heterocycle or optionally substituted heteroaryl; R5 represents hydrogen, halogeno, C1-6 alkyl, C1-6 alkoxy or C2-7 alkoxycarbonyl; m is an integer of 1 to 3; n is an integer of 1 to 6; and X represents carbon or nitrogen) are prepared

These compds. are useful as remedies or preventives for psychoneurosis such as delirium, marginal symptoms of dementia and delirium, anxiety, depression, hallucination, illusion, and car sickness. Thus, 4-[4-[2-(3-methoxybenzyloxy)phenyl]piperazin-1-yl]butylamine was condensed with 1-methyl-1H-pyrrole-2-carboxylic acid using (PhO)2P(O)N3 and Et3N in THF to give, after salt formation, the title compound (II.HCl). II.HCl in vitro showed IC50 of 1.2 nM for inhibiting the binding of [3H]ketanserin to 5-HT2 of rat brain frontal lobe and IC50 of 0.3 nM for inhibiting the binding of [3H]-8-hydroxy-2-(dipropylamino)tetralin to 5-HT1A of rat hippocampus. Pharmaceutical formulations containing I were prepared

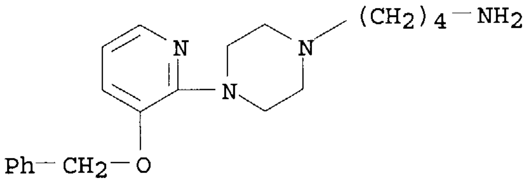
IT **220139-55-9P 220139-57-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-[(acylamino)alkyl]piperazine derivs. having excellent serotonin 5-HT2 receptor antagonism and serotonin 5-HT1A receptor agonism for treatment of psychoneurosis)

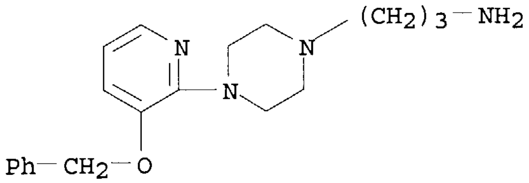
RN 220139-55-9 CAPLUS

CN 1-Piperazinebutanamine, 4-[3-(phenylmethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 220139-57-1 CAPLUS

CN 1-Piperazinepropanamine, 4-[3-(phenylmethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L10  ANSWER 16 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1997:745940  CAPLUS
DN   128:19651
TI   Pyridyl- and pyrimidyl-piperazines in the treatment of substance abuse
     disorders
IN   Bjork, Anders
PA   Pharmacia & Upjohn AB, Swed.; Bjork, Anders
SO   PCT Int. Appl., 17 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
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PI   WO 9741858         A1   19971113      WO 1997-SE673     19970422
         W:  AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
             ML, MR, NE, SN, TD, TG
     AU 9727960         A1   19971126      AU 1997-27960     19970422
     AU 704695          B2   19990429
     EP 900082          A1   19990310      EP 1997-922236    19970422
     EP 900082          B1   20011107
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
     CN 1223583         A    19990721      CN 1997-195958    19970422
     CN 1111408         B    20030618
     BR 9708922         A    19990803      BR 1997-8922      19970422
     JP 2000509711      T2   20000802      JP 1997-539828    19970422
     NZ 332484          A    20010126      NZ 1997-332484    19970422
     AT 208197          E    20011115      AT 1997-922236    19970422
     PT 900082          T    20020429      PT 1997-922236    19970422
     ES 2167743         T3   20020516      ES 1997-922236    19970422
     CZ 291107          B6   20021211      CZ 1998-3559      19970422
     RU 2197245         C2   20030127      RU 1998-122110    19970422
     IL 126795          A1   20030529      IL 1997-126795    19970422
     NO 9805063         A    19990105      NO 1998-5063      19981030
     KR 2000010700      A    20000225      KR 1998-708781    19981030
     US 6221858         B1   20010424      US 1998-180292    19981105
PRAI SE 1996-1708       A    19960506
     WO 1997-SE673      W    19970422
OS   MARPAT 128:19651
GI
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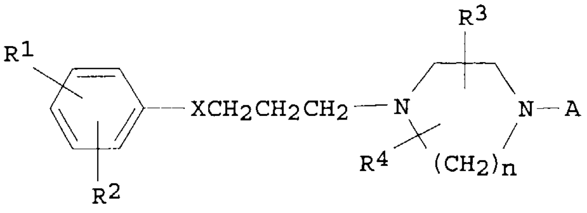


I

AB Use of a compound of formula (I) and the pharmaceutically acceptable acid addition salts thereof, wherein R1 is either halogen or hydrogen and R2 is halogen; X is CH2, O or S; R3 and R4 are the same or different and selected from hydrogen or lower alkyl; n is 2 or 3; A is selected from the substituted or unsubstituted pyrimidyl- or pyridyl- groups, are described for the manufacture of a medicament for the relief or prevention of a withdrawal syndrome resulting from addiction to a drug or substance of abuse or for treatment thereof.

IT **199486-45-8**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyridyl- and pyrimidyl-piperazines in treatment of substance abuse disorders)

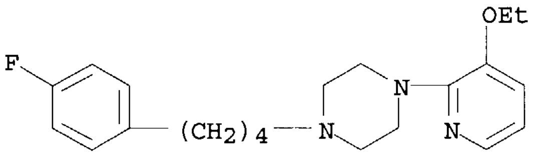
RN 199486-45-8 CAPLUS

CN Piperazine, 1-(3-ethoxy-2-pyridinyl)-4-[4-(4-fluorophenyl)butyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 199486-44-7

CMF C21 H28 F N3 O

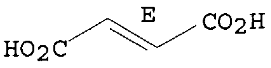


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



L10 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:975754 CAPLUS

DN 124:20964

TI Identification of Metabolites of Azaperone in Horse Urine

AU Sams, Richard A.; Gerken, Diane F.; Detra, Randall L.; Stanley, Scott D.; Wood, William E.; Tobin, Thomas; Yang, Jyan-Ming; Tai, Hsin-Hsinng; Jegananthan, Alwarsamy; Watt, David S.

CS College of Veterinary Medicine, Ohio State University, Columbus, OH, 43210, USA

SO Journal of Pharmaceutical Sciences (1996), 85(1), 79-84
CODEN: JPMSAE; ISSN: 0022-3549

PB American Chemical Society

DT Journal

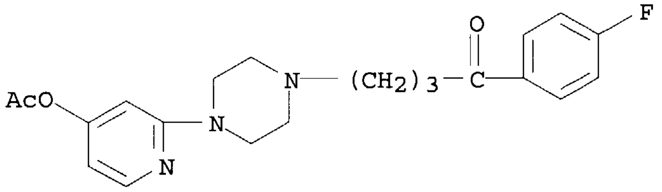
LA English

AB Two metabolites of the tranquilizer azaperone were extracted from alkalinized horse urine after treatment with β-glucuronidase/sulfatase from limpets (Patella vulgata). The metabolites were identified by a combination of independent chemical synthesis and GC/MS and 1H NMR anal. The metabolites were identified as 1-(fluorophenyl)-4-[4-(5-hydroxy-2-pyridinyl)-1-piperazinyl]-1-butanol, designated as 5'-hydroxyazaperol, and 1-(fluorophenyl)-4-[4-(5-hydroxy-2-pyridinyl)-1-piperazinyl]-1-butanone, designated as 5'-hydroxyazaperone. A TLC screening test was developed for detecting both metabolites in basic exts. of horse urine treated with β-glucuronidase/sulfatase. The screening test was used to detect azaperone metabolites in exts. of horse urine collected for 24 h after i.v. administration of azaperone. The administration of azaperone to horses was confirmed by GC/MS identification of 5'-hydroxyazaperone and 5'-hydroxyazaperol from basic exts. of horse urine treated with β-glucuronidase/sulfatase. The extracted metabolites were treated with bis(trimethylsilyl)acetamide to produce trimethylsilyl (TMS) ether derivs., and mass spectra and retention times were compared to those of the synthesized metabolites treated in the same manner.

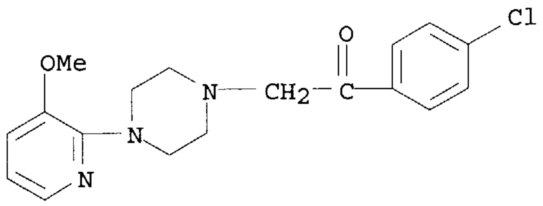
IT **171436-58-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(identification of azaperone metabolites in horse urine)

RN 171436-58-1 CAPLUS

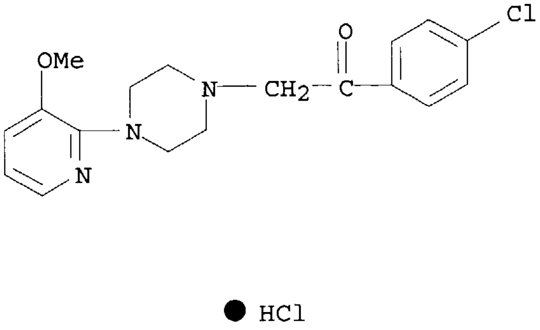
CN 1-Butanone, 4-[4-[4-(acetyloxy)-2-pyridinyl]-1-piperazinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:349038 CAPLUS
DN 123:228113
TI Synthesis and analgesic activity of new 1-(p-substituted phenacyl)-4-substituted piperazines and piperidines and their carbinol derivatives
AU El-Shafie, Faiza S.; Al-Deeb, Omar A.; Hammad, Mona E. M.; Mustafa, Ali A.; El-Obeid, Humeida A.
CS Coll. Pharm., King Saud Univ., Riyadh, 11451, Saudi Arabia
SO Scientia Pharmaceutica (1994), 62(4), 389-403
CODEN: SCPHA4; ISSN: 0036-8709
PB Oesterreichische Apotheker-Verlagsgesellschaft
DT Journal
LA English
AB The title compds., 1-phenyl-2-(1-piperazinyl)ethanones and 1-phenyl-2-(1-piperidinyl)ethanones were prepared from α-bromoacetophenones via alkylation of the appropriate 4-substituted piperazines and piperidines. The corresponding carbinols were gained by the reduction of 1-phenyl-2-(1-piperazinyl)ethanones and 1-phenyl-2-(1-piperidinyl)ethanones. Some of these compds. were tested in the quinone-induced writhing and in tail-flick tests in mice. Varying degrees of analgesic activity were observed with carbinols generally exhibiting the highest potency.
IT **168137-08-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(phenyl(piperazinyl)ethanones or phenyl(piperidinyl)ethanones as analgesics)
RN 168137-08-4 CAPLUS
CN Ethanone, 1-(4-chlorophenyl)-2-[4-(3-methoxy-2-pyridinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



IT **168137-31-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(phenyl(piperazinyl)ethanones or phenyl(piperidinyl)ethanones as analgesics)
RN 168137-31-3 CAPLUS
CN Ethanone, 1-(4-chlorophenyl)-2-[4-(3-methoxy-2-pyridinyl)-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)


OMe
N
N
N
CH2
C
O
Cl
● HCl

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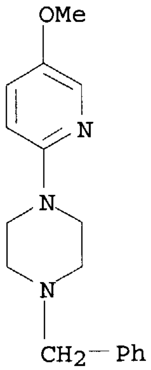
L10  ANSWER 19 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1994:638421  CAPLUS
DN   121:238421
TI   Pharmaceutical compositions containing substituted azolone derivatives
for
     treating diseases caused by helicobacter
IN   Heeres, Jan; Mostmans, Joseph Hector; Van Der Eycken, Luc Alfons Leo;
     Odds, Frank Christopher; Stokbroekx, Raymond Antoine; Van Der Aa, Marcel
     Jozef Maria
PA   Janssen Pharmaceutica N.V., Belg.
SO   PCT Int. Appl., 40 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.   DATE
     ---------------   ----  --------       ---------------   --------
PI   WO 9418978         A1   19940901       WO 1994-EP380     19940209
         W:  AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KP, KR, LK, LV, MG,
             MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
     CA 2155830         AA   19940901       CA 1994-2155830   19940209
     AU 9461081         A1   19940914       AU 1994-61081     19940209
     AU 675508          B2   19970206
     EP 684821          A1   19951206       EP 1994-907534    19940209
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
     CN 1118138         A    19960306       CN 1994-191221    19940209
     JP 08506821        T2   19960723       JP 1994-518613    19940209
     HU 73662           A2   19960930       HU 1995-1923      19940209
     PL 174836          B1   19980930       PL 1994-310348    19940209
     RU 2128659         C1   19990410       RU 1995-122796    19940209
     LT 3214            B    19950425       LT 1994-1874      19940218
     ZA 9401137         A    19950818       ZA 1994-1137      19940218
     IL 108703          A1   19980104       IL 1994-108703    19940218
     US 5650411         A    19970722       US 1995-491960    19950718
     FI 9503899         A    19950818       FI 1995-3899      19950818
     NO 9503254         A    19950911       NO 1995-3254      19950818
PRAI EP 1993-200474     A    19930219
     WO 1994-EP380      W    19940209
OS   MARPAT 121:238421
AB   Pharmaceutical compns. containing substituted azolone derivs. (Markush
     structure given) are used for for treating diseases caused by
     helicobacter.  A solution of 2-(chlorodimthylsilyl)-2-methylpropane in
CH2Cl2
     was added to a stirred solution of
2-[1-(4-bromobenzoyl)ethyl]-2,4-dihydro-4-
     [4-[4-(4-hydroxyphenyl)-1-piperazinyl]phenyl]-3H-1,2,4-triazol-3-one in
     pyridine under N atmospheric and stirred for 1 wk, then the mixture was
evaporated and
     the residue was purified to obtain
2-[1-(4-bromobenzoyl)ethyl]-4-[4-[4-[4-

[[(1,1,-dimethylethyl)dimethylsilyl]oxy]phenyl]-1-piperazinyl]phenyl]-2,4-
     dihydro-3H-1,2,4-triazol-3-one (I).  The MIC of I against Helicobacter
     pylori was 1 µM.  A capsule containing I 20 mg. was prepared
IT   158399-61-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
```

(pharmaceutical compns. containing substituted azolone derivs. for treating diseases caused by helicobacter)

RN 158399-61-2 CAPLUS

CN Piperazine, 1-(5-methoxy-2-pyridinyl)-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



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L10  ANSWER 20 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1994:54555  CAPLUS
DN   120:54555
TI   Preparation of antimigraine 4-pyrimidinyl and pyridinyl derivatives of
     (indol-3-yl-alkyl)piperazines
IN   Smith, David W.; Yocca, Frank D.; Yevich, Joseph P.; Mattson, Ronald J.;
     Williams, Andrew; Ruediger, Edward H.; Combrink, Keith Dean; Pearce,
     Bradley Clyde
PA   Bristol-Myers Squibb Co., USA
SO   Eur. Pat. Appl., 45 pp.
     CODEN: EPXXDW
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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PI   EP 548813          A1   19930630      EP 1992-121519   19921217
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,
SE
     CA 2084531         AA   19930620      CA 1992-2084531  19921204
     ZA 9209445         A    19930712      ZA 1992-9445     19921204
     IL 104024          A1   19970415      IL 1992-104024   19921208
     NO 9204764         A    19930621      NO 1992-4764     19921210
     AU 9230034         A1   19940324      AU 1992-30034    19921210
     AU 661527          B2   19950727
     RU 2114111         C1   19980627      RU 1992-16241    19921217
     JP 05262762        A2   19931012      JP 1992-361763   19921218
     CN 1085556         A    19940420      CN 1993-101186   19930102
     US 5434154         A    19950718      US 1994-233545   19940426
PRAI US 1991-810661          19911219
     US 1992-959592          19921013
OS   MARPAT 120:54555
GI
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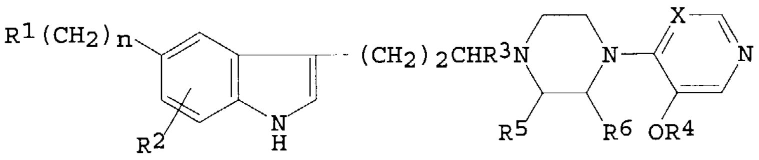

I

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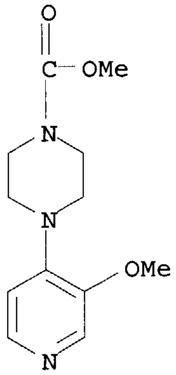
AB   Title compds. I (X = N, HC; R1 = H, halo, alkyl, alkoxy, substituted
     phenylalkoxy, H2N, NC, HO, O2N, NCCH2O, H2NCOCH2O, 2-oxopyrrolidinyl,
     etc., proviso; R2 = H, alkyl, alkoxy, halo, R9O2C wherein R9 = alkyl, H,
     alkyl, alkylphenylalkyl, F3C; R3, R5-7 = H, alkyl; R4 = alkyl n = 0-2) or
     a salt thereof, useful for alleviation of vascular headache on the basis
     of their potent affinity and agonist activity at 5-HTID sites, are
prepared
     I (X = N, R1(CH2)n = PhCH2O, R2, R3 = R5 = R6 = H, R4 = Me) (preparation
given)
     and Pd(OH)2/C' in EtOH was hydrogenated at 30-40 psi to give I (X = N, R1
     = HO, n = 0, R2 = R3 = R5 = R6 = H, R4 = Me) as HCl salt (II).  The
5-HT1D
     binding site affinity of II was IC50 0.8 nM.
IT   151140-91-9P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
```

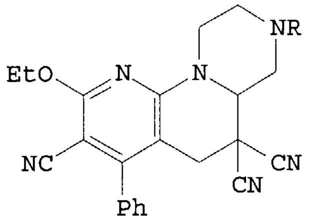
(Reactant or reagent)
(preparation and reaction of, in preparation of antimigraine drug)

RN 151140-91-9 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-(3-methoxy-4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:671107 CAPLUS
DN 119:271107
TI Synthesis of pyrazino[1,2-a:4,5-a']di[1,8]naphthyridine and pyrazino[1,2-a][1,8]naphthyridines
AU Ojea, Vicente; Quintela, Jose Maria
CS Fac. Cienc., Univ. La Coruna, La Coruna, 15071, Spain
SO Heterocycles (1993), 36(6), 1337-49
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
OS CASREACT 119:271107
GI



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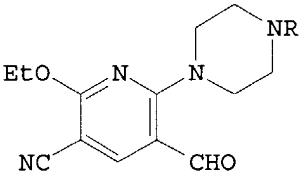
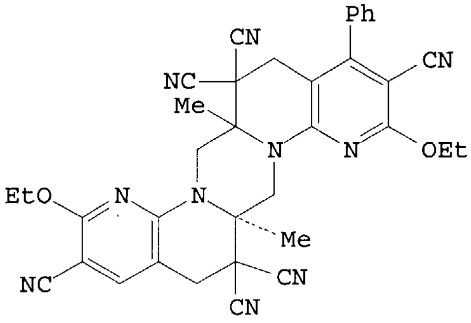


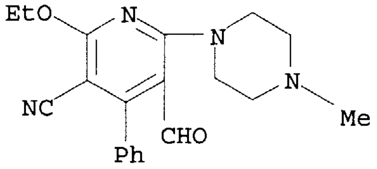
II



III

AB A series of 3-alkyl-, 3-aryl- and 3-hetarylhexahydro-1H-pyrazino[1,2-a][1,8]naphthyridines [I; R = Me, CH2Ph, CH2CH2C6H4CF3-4, (un)substituted Ph, 2-pyridyl, etc.] were prepared from 2-(4-substituted 1-piperazinyl)-3-formylpyridines (II) by condensation with malononitrile and subsequent thermal cyclization. Octahydro[1,2-a:4,5-a']di[1,8]naphthyridine (III) was also obtained.

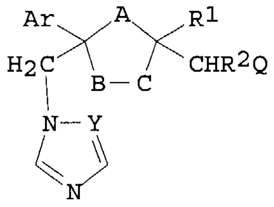
IT **151021-13-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with malononitrile)
RN 151021-13-5 CAPLUS
CN 3-Pyridinecarbonitrile, 2-ethoxy-5-formyl-6-(4-methyl-1-piperazinyl)-4-phenyl- (9CI) (CA INDEX NAME)



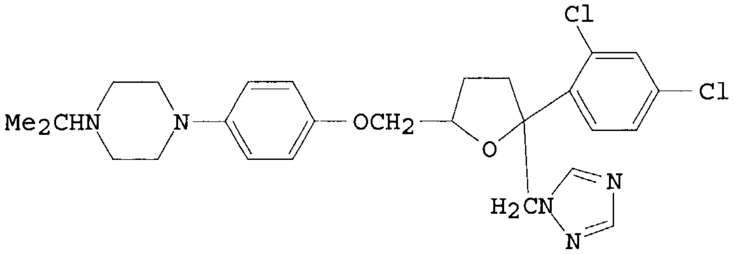
L10 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:656229 CAPLUS
DN 115:256229
TI Preparation of intermediates and tri- and tetra-substituted oxetanes and tetrahydrofurans as fungicides and for treatment of allergic reactions
IN Saksena, Anil K.; Girijavallabhan, Viyyoor M.; Ganguly, Ashit K.; Rane, Dinanath F.
PA Schering Corp., USA
SO U.S., 33 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5039676	A	19910813	US 1990-460342	19900511
PRAI	US 1990-460342		19900511		

OS MARPAT 115:256229
GI



I

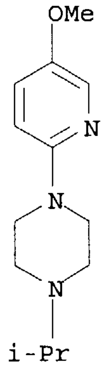


II

AB Title compds. I (one of A, B, C is O and the remaining two are CH2, or A = O, B is CH2 and C is a direct bond; Ar = thienyl, pyridyl, biphenyl, (substituted) Ph; Y = CH, N; R1 = H, (substituted) alkyl; R2 = H, HO, (substituted) alkyl; Q = substituted PhO, substituted pyridyloxy, etc.), stereochem. isomers, or a salt, useful as fungicides, antiallergic and immunomodulators (no data), are prepared 1-(4-Hydroxyphenyl)-4-(1-methylethyl)piperazine in DMSO was added to NaH, stirred at room temperature for 30 min, then (±)-cis-5-(2,4-dichlorophenyl)tetrahydro-5-[(1H-1,2,4-triazol-1-yl)methyl]-2-furanmethanol mesylate (preparation given) was added and the mixture stirred at 75° for 1 h to give after workup the (±)-cis-title compound II.

IT **137186-15-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antiallergy agents and fungicides)

RN 137186-15-3 CAPLUS
CN Piperazine, 1-(5-methoxy-2-pyridinyl)-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



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L10  ANSWER 23 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1990:572049  CAPLUS
DN   113:172049
TI   Preparation of 1-indolylalkyl-4-(substituted-pyridinyl)piperazines as
     sertonin re-uptake inhibitors
IN   Smith, David William; Yocca, Frank D.; Yevich, Joseph Paul; Mattson,
     Ronald John
PA   Bristol-Myers Co., USA
SO   Eur. Pat. Appl., 37 pp.
     CODEN: EPXXDW
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.       KIND  DATE          APPLICATION NO.  DATE
     ---------------  ----  --------      ---------------  --------
PI   EP 345808         A1   19891213      EP 1989-110485   19890609
     EP 345808         B1   19950111
         R:  AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
     US 4954502        A    19900904      US 1989-338253   19890414
     CA 1337421        A1   19951024      CA 1989-601179   19890530
     FI 8902787        A    19891211      FI 1989-2787     19890607
     FI 95698          B    19951130
     FI 95698          C    19960311
     DK 8902835        A    19891211      DK 1989-2835     19890609
     NO 8902381        A    19891211      NO 1989-2381     19890609
     NO 174296         B    19940103
     NO 174296         C    19940413
     AU 8936282        A1   19891214      AU 1989-36282    19890609
     AU 624147         B2   19920604
     JP 02085277       A2   19900326      JP 1989-148200   19890609
     JP 2786480        B2   19980813
     ZA 8904377        A    19920226      ZA 1989-4377     19890609
     ES 2067500        T3   19950401      ES 1989-110485   19890609
     KR 128210         B1   19980402      KR 1989-8025     19890610
PRAI US 1988-204845    A    19880610
     US 1989-338253    A    19890414
OS   MARPAT 113:172049
GI
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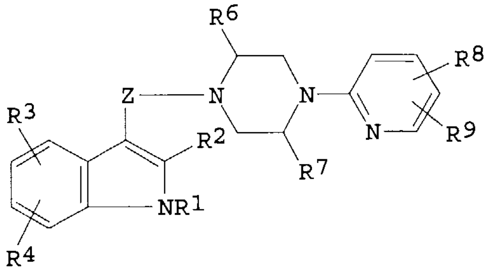
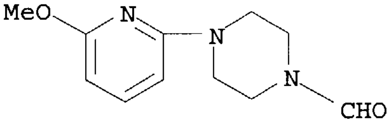


I

AB   The title compds. [I; R1, R2 = H, C1-4 alkyl; R3, R4, R8, R9 = H, C1-4 alkyl, C1-4 alkoxy, carbamoyl, halo, CF3, thio(C1-4 alkyl); R8 ≠ R9 = H; R6, R7 = H, Me; R6R7 = CH2; Z = C5-7 cycloalkylene, cycloalkenylene, (CH2)nCHR1; n = 1-3] and their pharmaceutically acceptable salts, useful for treatment of depression and chronic pain, were prepared A mixture of 3-(3-bromopropyl)indole (preparation given), 1-(3-methoxy-2-pyridinyl)piperazine (preparation given), K2CO3, and Bu4NHSO4 in MeCN was refluxed 3 h under N to give 45% I [R1 = R2 = R3 = R4 = R6 = R7 = R8 = H, R9 = 3-MeO, Z = (CH2)3] (II) which was converted to II.2HCl. The latter in vitro inhibited serotonin re-uptake with an IC50 of 1.1 nM.

IT **127561-07-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antidepressant)
RN 127561-07-3 CAPLUS
CN 1-Piperazinecarboxaldehyde, 4-(6-methoxy-2-pyridinyl)- (9CI) (CA INDEX NAME)



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L10  ANSWER 24 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1990:532214  CAPLUS
DN   113:132214
TI   Preparation of (fluorophenyl)alkyl(pyridyl)piperazines and analogs as
     antipsychotics
IN   Olsson, Knut Gunnar; Abramo, Aina Lisbeth; Lundstedt, Erik Torbjorn;
     Nordvi, Curt
PA   Pharmacia AB, Swed.
SO   Eur. Pat. Appl., 13 pp.
     CODEN: EPXXDW
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ---------------   ----  --------      ---------------  --------
PI   EP 361271          A1   19900404      EP 1989-117262   19890919
         R:  AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
     AT 97665           E    19931215      AT 1989-117262   19890919
     ES 2060709         T3   19941201      ES 1989-117262   19890919
     IL 91701           A1   19930513      IL 1989-91701    19890920
     AU 8941737         A1   19900405      AU 1989-41737    19890925
     AU 616307          B2   19911024
     CA 1337417         A1   19951024      CA 1989-612932   19890925
     DD 290884          A5   19910613      DD 1989-332978   19890926
     DK 8904771         A    19900329      DK 1989-4771     19890927
     DK 171133          B1   19960624
     NO 8903853         A    19900329      NO 1989-3853     19890927
     NO 174667          B    19940307
     NO 174667          C    19940615
     RU 2021269         C1   19941015      RU 1989-4742280  19890927
     FI 8904604         A    19900329      FI 1989-4604     19890928
     FI 94629           B    19950630
     FI 94629           C    19951010
     CN 1041360         A    19900418      CN 1989-107600   19890928
     CN 1028756         B    19950607
     JP 02134368        A2   19900523      JP 1989-250834   19890928
     JP 2857693         B2   19990217
     HU 51611           A2   19900528      HU 1989-5103     19890928
     HU 203232          B    19910628
     ZA 8907404         A    19900725      ZA 1989-7404     19890928
     US 5034390         A    19910723      US 1989-414114   19890928
     LT 3549            B    19951127      LT 1993-604      19930602
     LV 10265           B    19950820      LV 1993-577      19930615
PRAI SE 1988-3429            19880928
     EP 1989-117262          19890919
OS   MARPAT 113:132214
GI
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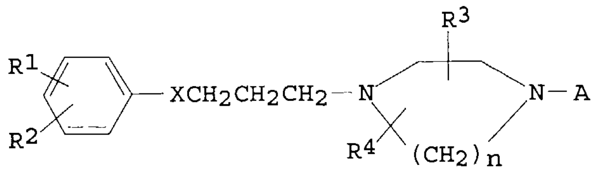


I

AB The title compds. [I; R1 = H, halo; R2 = H; R3, R4 = H, alkyl; A - (un)substituted pyrimidyl, pyridyl; X = O, S, CH2; n - 2-3] and their pharmacol. active salts, psychotropics with an affinity for 5-HT2 and D2 binding sites, useful for treatment of mental disorders, are prepared A mixture of 6.0 g 4-(p-fluorophenyl)butyl chloride, 5.3 g pyridylpiperazine, 5.2 g Na2CO3, and 0.1 g iodide was heated 20 h at 150° in PhMe to give I (R1 = 4-F, R2 = R3 = R4 = H, A - 2-pyridyl, X = CH2, n = 2) which was converted to its fumarate salt (4.8 g). I.HCl (R1 = 4-F, R2 = R3 = R4 = H, A = 6-chloro-2-pyridyl, X = CH2, n = 2) in a binding assay using 3H-ketanserin as a ligand in vitro had a Ki of 7 nM. A capsule, a tablet, and an injectable containing I were formulated.

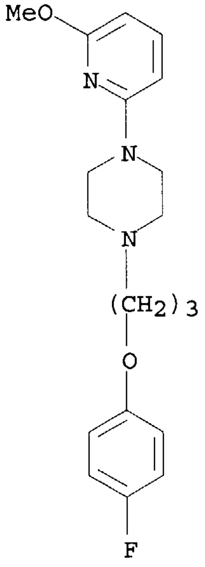
IT **129281-40-9P 129281-43-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, an antipsychotic)

RN 129281-40-9 CAPLUS

CN Piperazine, 1-[3-(4-fluorophenoxy)propyl]-4-(6-methoxy-2-pyridinyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

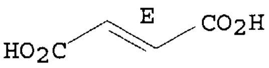
CRN 129281-39-6
CMF C19 H24 F N3 O2



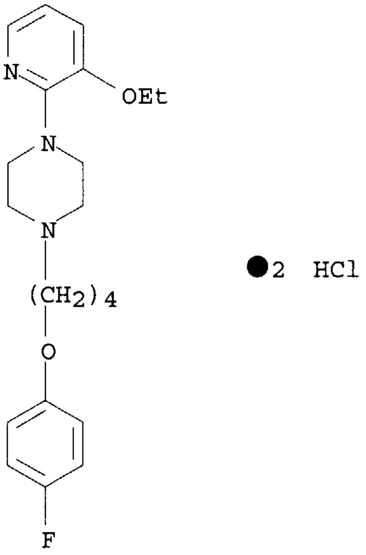
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 129281-43-2 CAPLUS
CN Piperazine, 1-(3-ethoxy-2-pyridinyl)-4-[4-(4-fluorophenoxy)butyl]-, dihydrochloride (9CI) (CA INDEX NAME)



```
L10  ANSWER 25 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1988:549563  CAPLUS
DN   109:149563
TI   Preparation and formulation of antipsychotic cyclic imide derivatives of
     2-(4-butyl-1-piperazinyl)pyridines
IN   New, James S.; Lobeck, Walter G., Jr.; Yevich, Joseph P.
PA   Bristol-Myers Co., USA
SO   U.S., 11 pp.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE           APPLICATION NO.   DATE
     ---------------    ----  --------       ---------------   --------
PI   US 4757073          A    19880712       US 1986-899695    19860930
PRAI US 1986-899695           19860930
OS   CASREACT 109:149563; MARPAT 109:149563
GI   For diagram(s), see printed CA Issue.
AB   The title compds. [I; R1 = HCO, carbalkoxy, NO2, Ph, 5-alkyl-1,3,4-
     oxadiazol-2-yl; R2 = H, halo, alkyl, alkoxy; Z = R3R4C(CH2)2; R3, R4 =
     alkyl] and their pharmaceutically acceptable acid addition salts, useful
as
     antipsychotics were prepared  3-(4-Bromobutyl)-5-spirocyclopentyl)-2,4-
     thiazolidinedione, 1-(3-nitro-2-pyridinyl)piperazine (preparation
given), and
     K2CO3, were refluxed in MeCN to give I (A = 2,4-dioxo-1-thia-3-
     azaspiro[4.4]nonan-3-yl, R1 = O2N, R2 = H) in 64% yield, converted to its
     di-HCl salt.  I have promising antipsychotic potential in the conditioned
     avoidance response test having oral ED50 <100 mg/kg and IC50 <1000 nM in
     the spiperone dopamine receptor-binding assay.
IT   104813-72-1P
     RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of, as antipsychotic)
RN   104813-72-1  CAPLUS
CN   2,6-Piperidinedione,
1-[4-[4-(6-methoxy-2-pyridinyl)-1-piperazinyl]butyl]-
     4,4-dimethyl-, monohydrochloride (9CI)  (CA INDEX NAME)
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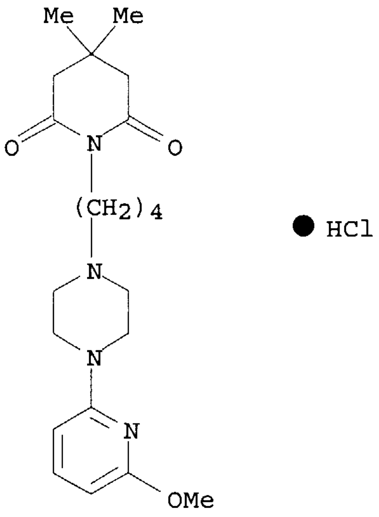
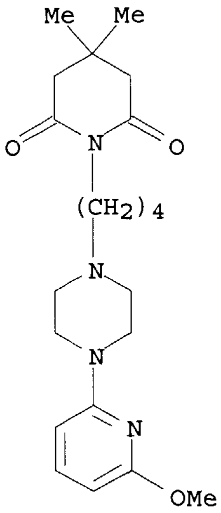

Me
Me
O
N
O
(CH2)4
N
N
N
OMe
● HCl

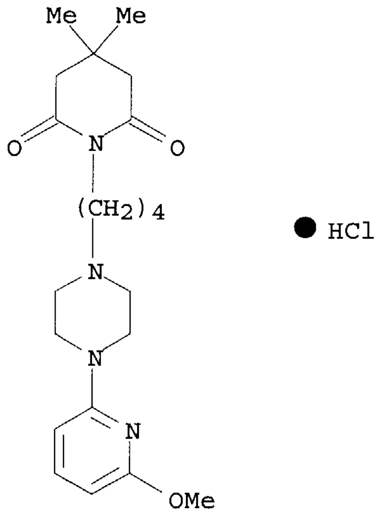
L10 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:167507 CAPLUS
DN 108:167507
TI Preparation and testing of 1-(imidobutyl)-4-pyridinylpiperazines as antipsychotics
IN New, James Stewart; Lobeck, Walter G., Jr.; Yevich, Joseph P.
PA Bristol-Myers Co., USA
SO Brit. UK Pat. Appl., 19 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2191772	A1	19871223	GB 1986-14686	19860617
	GB 2191772	B2	19900523		
PRAI	GB 1986-14686		19860617		

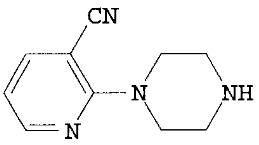
OS CASREACT 108:167507
GI For diagram(s), see printed CA Issue.
AB The title compds. (I; Z = Q1, Q2, CH2CR3R4CH2, CR5R6S, CH2ACH2; R1 = H, alkyl, alkoxy, formyl, carboalkoxy, halo, NO2, Ph, alkyloxadiazolyl; R2 = H, halo, alkyl, alkoxy; R3,R4 = alkyl; R5,R6 = H, alkyl, Ph; both R1,R2 ≠ H; n = 3-6; A= O, S) were prepared as antipsychotics. A mixture of 3-(4-bromobutyl)-5-(spirocyclopentyl)-2,4-thiazolidinedione, 1-(3-nitro-2-pyridinyl)piperazine, and K2CO3 was refluxed in MeCN to give 64% 2-[4-[4-(3-nitro-2-pyridinyl)-1-piperazinyl]butyl)-1-thia-3-azaspiro[4.4]nonane-2,4-dione. I have oral ED50's of <100 mg/kg in the conditioned avoidance test in rats.
IT **104813-71-0P 104813-72-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antipsychotic)
RN 104813-71-0 CAPLUS
CN 2,6-Piperidinedione, 1-[4-[4-(6-methoxy-2-pyridinyl)-1-piperazinyl]butyl]-4,4-dimethyl- (9CI) (CA INDEX NAME)



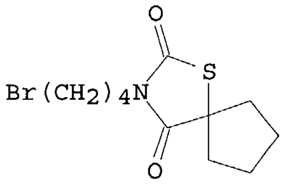
RN 104813-72-1 CAPLUS
CN 2,6-Piperidinedione,
1-[4-[4-(6-methoxy-2-pyridinyl)-1-piperazinyl]butyl]-
4,4-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



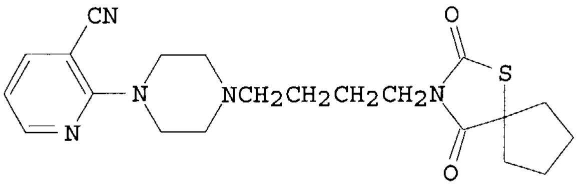
L10 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:94511 CAPLUS
DN 108:94511
TI Atypical antipsychotic agents: patterns of activity in a series of
3-substituted 2-pyridinyl-1-piperazine derivatives
AU New, James S.; Yevich, Joseph P.; Temple, Davis L., Jr.; New, Kimberly
B.;
Gross, Sharon M.; Schlemmer, R. Francis, Jr.; Eison, Michael S.; Taylor,
Duncan P.; Riblet, Leslie A.
CS Pharm. Res. Dev. Div., Bristol-Myers Co., Wallingford, CT, 06492-7660,
USA
SO Journal of Medicinal Chemistry (1988), 31(3), 618-24
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 108:94511
GI



I



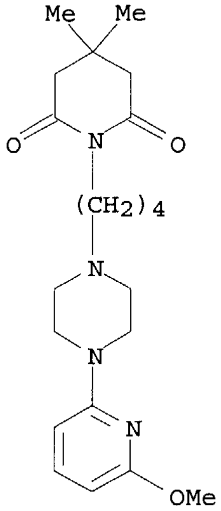
II



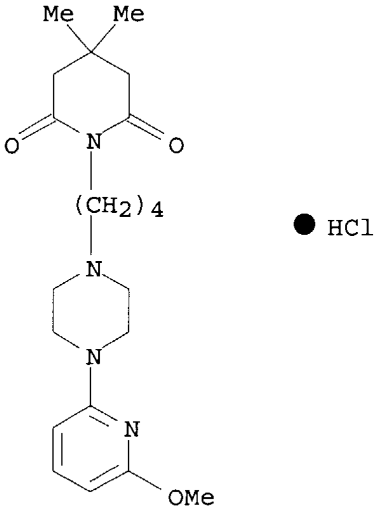
III

AB A series of 3-substituted 2-pyridinyl-1-piperazine derivs. were appended
to cyclic imide groups and evaluated for their potential antipsychotic
activity. Thus, cyanopyridylpiperazine I reacted with
(bromobutyl)spirocyclopentylthiazolidinedione II in MeCN containing
K2CO3 to
give 64% the imide III. The dopamine receptor affinities of the target
mols. as well as their ability to block apomorphine-induced stereotypy or
reverse neuroleptic-induced catalepsy, was dependent on the lipophilic
and
electronic characteristics of the substituent situated on the pyridine
ring. Groups with $+\sigma$ and $-\pi$ values were most consistent with the
desired biol. profile of the target mols., the cyano moiety being th e
optimum choice. Evaluation of III in a monkey model of amphetamine
psychosis, and the regional selectivity it expresses for the A10
dopaminergic cell bodies in electrophysiol. expts., suggest this compound
would be an atypical antipsychotic agent with few side effects.
IT **104813-71-0P 104813-72-1P**
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation, dopamine receptor binding, and antipsychotic activity
of)

RN 104813-71-0 CAPLUS
CN 2,6-Piperidinedione,
1-[4-[4-(6-methoxy-2-pyridinyl)-1-piperazinyl]butyl]-
4,4-dimethyl- (9CI) (CA INDEX NAME)



RN 104813-72-1 CAPLUS
CN 2,6-Piperidinedione,
1-[4-[4-(6-methoxy-2-pyridinyl)-1-piperazinyl]butyl]-
4,4-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



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L10  ANSWER 28 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1987:458992  CAPLUS
DN   107:58992
TI   N,N-Disubstituted 6-alkoxy-2-pyridinamines as anticonvulsant agents
AU   Pavia, Michael R.; Taylor, Charles P.; Hershenson, Fred M.; Lobbestael,
     Sandra J.
CS   Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105,
     USA
SO   Journal of Medicinal Chemistry (1987), 30(7), 1210-14
     CODEN: JMCMAR; ISSN: 0022-2623
DT   Journal
LA   English
OS   CASREACT 107:58992
GI
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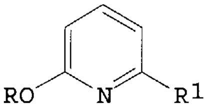

I

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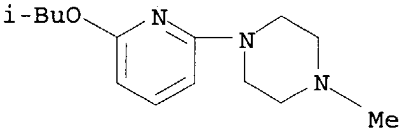
AB   The anticonvulsant effect of a series of title compds. I (R = Me, Et,
     Me2CH, Me2CHCH2, Me2NCH2CH2, cyclopropylmethyl, cyclohexyl,
     cyclohexylmethyl; R1 = e.g., piperazino, piperidino, morpholino) is
     described.  The activity/side-effect ratio in this series of compds. was
     optimized.  I (R = Me2CHCH2; R1 = piperazino) (II) showed the most
     desirable profile, and was selected for a more complete pharmacol.
     evaluation.  Overall, the pharmacol. profile of II is very similar to
that
     of diphenylhydantoin (phenytoin).  While II is nearly equipotent to
     phenytoin, animal studies suggest a fairly short duration of action.  In
     addition, II exhibited some troublesome side effects including central
     nervous system depression and hypothermia.
IT   108122-35-6P 108122-36-7P
     RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (preparation and anticonvulsant activity of)
RN   108122-35-6  CAPLUS
CN   Piperazine, 1-methyl-4-[6-(2-methylpropoxy)-2-pyridinyl]- (9CI)  (CA
INDEX
     NAME)
```



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RN   108122-36-7  CAPLUS
CN   Piperazine, 1-methyl-4-[6-(2-methylpropoxy)-2-pyridinyl]-,
     monohydrochloride (9CI)  (CA INDEX NAME)
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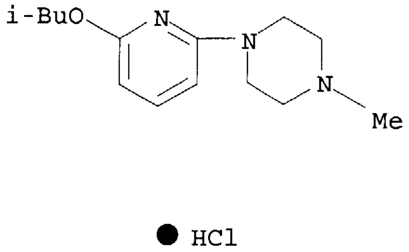
i-BuO
N
N
N
Me
● HCl

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L10  ANSWER 29 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1986:572510  CAPLUS
DN   105:172510
TI   Cyclic imide derivatives of 1-butyl-4-(2-pyridinyl)piperazines
IN   Lobeck, Walter G., Jr.; New, James S.; Yevich, Joseph P.
PA   Bristol-Myers Co., USA
SO   Ger. Offen., 44 pp.
     CODEN: GWXXBX
DT   Patent
LA   German
FAN.CNT 1
     PATENT NO.        KIND  DATE            APPLICATION NO.  DATE
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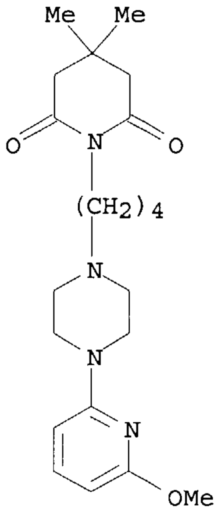
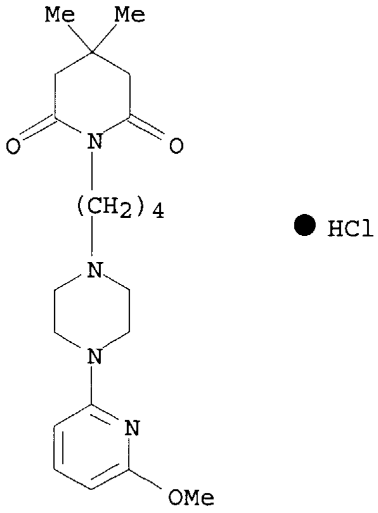
PI   DE 3601143         A1   19860717        DE 1986-3601143  19860116
     DE 3601143         C2   19940511
     US 4619930         A    19861028        US 1985-691952   19850116
     ZA 8509692         A    19860924        ZA 1985-9692     19851219
     FR 2580282         A1   19861017        FR 1985-19488    19851231
     FR 2580282         B1   19921016
     CA 1284325         A1   19910521        CA 1986-499331   19860110
     FI 8600136         A    19860717        FI 1986-136      19860113
     FI 90077           B    19930915
     FI 90077           C    19931227
     ES 550829          A1   19870516        ES 1986-550829   19860113
     IL 77577           A1   19900209        IL 1986-77577    19860113
     JP 61167682        A2   19860729        JP 1986-6146     19860114
     JP 07080873        B4   19950830
     BE 904047          A1   19860715        BE 1986-216140   19860115
     SE 8600173         A    19860717        SE 1986-173      19860115
     SE 466310          B    19920127
     SE 466310          C    19920527
     DK 8600192         A    19860717        DK 1986-192      19860115
     NL 8600071         A    19860818        NL 1986-71       19860115
     HU 39743           A2   19861029        HU 1986-207      19860115
     HU 198202          B    19890828
     CN 86100224        A    19861119        CN 1986-100224   19860115
     CN 1016691         B    19920520
     CH 666269          A    19880715        CH 1986-130      19860115
     SU 1521282         A3   19891107        SU 1986-4011790  19860115
     AU 8652294         A1   19860724        AU 1986-52294    19860116
     AU 591473          B2   19891207
     AT 8600100         A    19900515        AT 1986-100      19860116
     AT 391698          B    19901112
     ES 557390          A1   19880216        ES 1987-557390   19870213
PRAI US 1985-691952          19850116
OS   CASREACT 105:172510
GI   For diagram(s), see printed CA Issue.
AB   The title compds. (I; R1 = H, alkyl, alkoxy, alkoxycarbonyl, CHO, NO2,
     halo, Ph, 5-alkyl-1,3,4-oxadiazol-2-yl; R2 = H, alkyl, alkoxy, halo; Z =
     substituted alkylene, optionally with O, S interrupters) were prepared as
     antipsychotics.  Thus, 2-chloro-3-nitropyridine and piperazine were
     stirred at room temperature in Me2CHOH to give 96.5% 1-(3-nitro-2-
     pyridinyl)piperazine.  This was alkylated by refluxing with
     3-(4-bromobutyl)-1-thia-3-azaspiro[4.4]nonane-2,4-dione in MeCN
containing
     K2CO3 to give 64% pyridinylpiperazine derivative II.  I are effective in
     suppressing the conditioned avoidance reflex in rats with ED50 < 100
mg/kg
     and reverse neuroleptic-induced catalepsy in rats with ED50 < 20 mg/kg
```

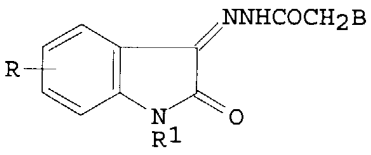
orally.
IT **104813-71-0P 104813-72-1P**
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antipsychotic)
RN 104813-71-0 CAPLUS
CN 2,6-Piperidinedione,
1-[4-[4-(6-methoxy-2-pyridinyl)-1-piperazinyl]butyl]-
4,4-dimethyl- (9CI) (CA INDEX NAME)



RN 104813-72-1 CAPLUS
CN 2,6-Piperidinedione,
1-[4-[4-(6-methoxy-2-pyridinyl)-1-piperazinyl]butyl]-
4,4-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



L10 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:498817 CAPLUS
DN 99:98817
TI Indoline-2,3-dione-3,N-alkylamino- and 3,N-piperazinoacetylhydrazones, new
substances with antiulcerogenic and antisecretory activities
AU Catto, A.; Cappelletti, R.; Leonardi, A.; Maggi, F.; Tajana, A.; Nardi, D.
CS Div. Ric., Recordati S.p.A., Milan, Italy
SO Farmaco, Edizione Scientifica (1983), 38(8), 559-70
CODEN: FRPSAX; ISSN: 0430-0920
DT Journal
LA Italian
GI



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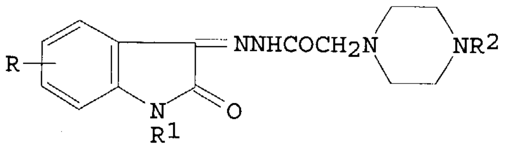
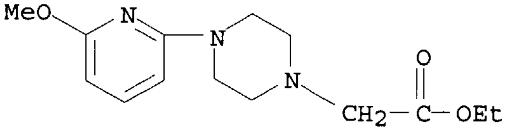


II

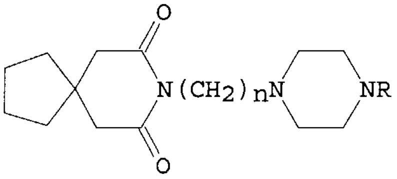
AB Further indoline-2,3-dione-3,N-alkylaminoacetylhydrazones (I; R = H, Br, or Cl; R1 = H or Me; B = N-containing chains or rings) and 14 indoline-2,3-dione-3,N-piperazinoacetylhydrazones (II; R = H, OMe, Cl, or Br; R1 = H or Me; R2 = H, alkyl, or cyclic) were prepared (general methods are given) by condensation of the substituted indoline-2,3-diones with the substituted acetylhydrazines in EtOH or AcOH or by direct fusion. By these means the E and Z isomers were separated, a number of which were tested in rats for the title activities. Some activity was found, although on the average less than that of cimetidine or atropine. Some structure-activity relations are discussed. It was not possible to attribute to the isomers a specific effect in biol. activity.
IT **86873-49-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 86873-49-6 CAPLUS
CN 1-Piperazineacetic acid, 4-(6-methoxy-2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)


MeO
N
N
N
CH2
C
O
OEt

L10 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1976:592766 CAPLUS
DN 85:192766
TI Tranquilizer employing N-(heteroarcyclic)piperazinylalkylazaspiroalkanediones
IN Wu, Yao Hua; Rayburn, James W.
PA Mead Johnson and Co., USA
SO U.S., 12 pp. Division of U.S. 3,907,801.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3976776	A	19760824	US 1975-595467	19750714
	US 3717634	A	19730220	US 1969-879604	19691124
	US 3907801	A	19750923	US 1972-312543	19721206
PRAI	US 1969-879604		19691124		
	US 1972-312543		19721206		

GI



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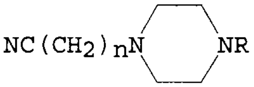
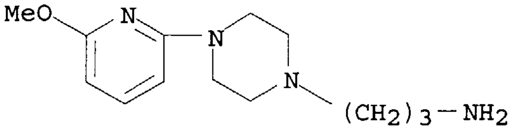


II

AB The title compds. I (R = substituted and unsubstituted pyridyl, pyrimidinyl, triazinyl; n = 2-5), tranquilizers, were prepared by standard methods. Thus, the spiroglutarimide was refluxed with a 1-(ω-aminoalkyl)-4-substituted piperazine in pyridine to give 52-90% I. 8-(3-Chloro-1-propyl)-8-azaspiro[4.5]decane-7,9-dione (4.9 g), N-(2-pyrimidinyl)piperazine, and Na2CO3 in BuOH was refluxed 3 days to give 3.4 g I (R = 2-pyrimidinyl, n = 3) as HCl salt. Also prepared were II (R = pyridyl, substituted and unsubstituted pyrimidinyl; n = 1,3,4), for example, by refluxing 1-(2-pyrimidinyl)piperazine with ClCH2CH2CN and Na2CO3 in BuOH. The nitriles were reduced to amines with Raney Ni and hydrazine.

IT **57648-85-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation with tetramethyleneglutaric anhydride)
RN 57648-85-8 CAPLUS
CN 1-Piperazinepropanamine, 4-(6-methoxy-2-pyridinyl)- (9CI) (CA INDEX NAME)

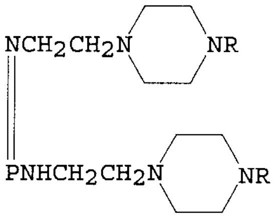

MeO
N
N
N
(CH2)3—NH2

L10 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1976:463091 CAPLUS
DN 85:63091
TI (Amidoethyl)piperazines
PA Science Union et Cie., Societe Francaise de Recherche Medicale, Fr.
SO Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 49076886	A2	19740724	JP 1972-118834	19721127
	JP 52003387	B4	19770127		
PRAI	JP 1972-118834		19721127		

GI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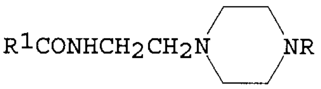
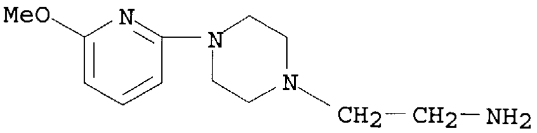

II

AB The piperazines I (R = pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl) were treated with R1CO2H (R1 = amino- or alkoxyphenyl, aminopyridyl, -pyrimidinyl, -pyridazinyl, -pyrazinyl) to give the (carboxamidoethyl) piperazines II. II were bronchodilators, central nervous system depressants, and gastric secretion inhibitors (no data). Thus, 41.2 g 1-(2-aminoethyl)-4-(2-pyridyl)piperazine was treated with PCl3 in pyridine and the resulting I (R = 2-pyridyl) heated 3 hr with 13.7 g p-H2NC6H4CO2H at 100° to give II (R = 2-pyridyl, R1 = p-H2NC6H4). Among 24 more II prepared were (R = 2-pyridyl throughout): R1 = p-EtOC6H4, 3,4-(methylenedoxy)phenyl, 4-amino-2-chlorophenyl, 4-amino-5-chloro-2-methoxyphenyl.

IT **40540-81-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with phosphorus trichloride and aminobenzoic acid analogs)
RN 40540-81-6 CAPLUS
CN 1-Piperazineethanamine, 4-(6-methoxy-2-pyridinyl)- (9CI) (CA INDEX NAME)



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L10  ANSWER 33 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1976:446755  CAPLUS
DN   85:46755
TI   N-Amidoethyl piperazines
IN   Regnier, Gilbert; Canevari, Roger
PA   Science Union et Cie., Societe Francaise de Recherche Medicale, Fr.
SO   Can., 11 pp.
     CODEN: CAXXA4
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.   DATE
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PI   CA 985683          A1   19760316      CA 1972-155104    19721027
PRAI CA 1972-155104          19721027
GI
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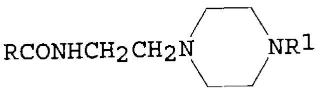

I

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AB   Piperazines I (R = substituted phenyl, 2-amino-5-pyridyl,
     3-amino-6-pyridazinyl, 2-amino-5-pyrimidinyl, 2-amino-5-pyrazinyl; R1 =
     2-pyridyl, 2-methoxy-6-pyridyl, 2-methyl-6-pyridyl, 4-methyl-2-pyridyl,
     4-pyridyl, 2-pyrimidinyl, 2-pyrazinyl) (25 compds.) were prepared by
     acylating the aminoethylpiperazines.  I have broncholytic,
     antianaphylactic, gastric secretion-inhibiting, and central nervous
system
     depressant properties (no data).
IT   40540-81-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (acylation of)
RN   40540-81-6  CAPLUS
CN   1-Piperazineethanamine, 4-(6-methoxy-2-pyridinyl)- (9CI)  (CA INDEX NAME)
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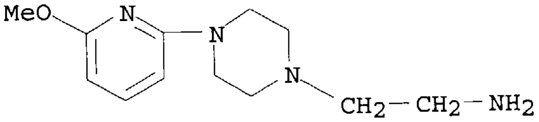

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L10  ANSWER 34 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1976:17428  CAPLUS
DN   84:17428
TI   N-[4-Pyridyl-piperazino)-alkyl]-azaspiroalkanediones
IN   Wu, Yao Hua; Rayburn, James W.
PA   Mead Johnson and Co., USA
SO   U.S., 11 pp. Division of U.S. 3,717,634.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 3
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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PI   US 3907801         A    19750923      US 1972-312543   19721206
     US 3717634         A    19730220      US 1969-879604   19691124
     ZA 7007826         A    19710728      ZA 1970-7826     19701119
     NL 7017031         A    19710526      NL 1970-17031    19701120
     FR 2073406         A1   19711001      FR 1970-41860    19701120
     FR 2073406         A5   19711001
     DK 141753          B    19800609      DK 1970-5896     19701120
     DK 141753          C    19801124
     GB 1332194         A    19731003      GB 1970-55908    19701124
     CH 552607          A    19740815      CH 1970-17417    19701124
     CH 552608          A    19740815      CH 1974-3418     19701124
     US 3976776         A    19760824      US 1975-595467   19750714
     ES 530335          A3   19850516      ES 1984-530335   19840307
PRAI US 1969-879604          19691124
     US 1972-312543          19721206
GI   For diagram(s), see printed CA Issue.
AB   The piperazinylalkylazaspiroalkanes I (R = 2-pyridinyl, 2-pyrimidinyl,
     6-methoxy-2-pyridinyl; n = 2-5), which possessed tranquilizing activity
in
     rats at 1.5-180 mg/kg and in Rhesus monkeys at 2-16 mg/kg, were prepared
by
     reaction of the glutaric anhydride II with the piperazines III.
     Alternately, the azaspirodecanes IV and the piperazines V reacted to give
     I.  III were prepared by substitution reaction of V with Cl(CH2)nCN and
     subsequent hydrogenation in the presence of Raney nickel.
IT   57648-85-8
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (condensation reaction with 3,3-tetramethyleneglutaric anhydride)
RN   57648-85-8  CAPLUS
CN   1-Piperazinepropanamine, 4-(6-methoxy-2-pyridinyl)- (9CI)  (CA INDEX
NAME)
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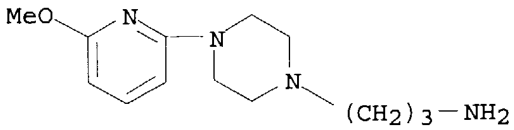

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L10  ANSWER 35 OF 35  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1973:58466  CAPLUS
DN   78:58466
TI   1-(Aminoazinylcarbonylaminoethyl)-4-(2-pyridyl) piperazines
IN   Regnier, Gilbert; Canevari, Roger; Duhault, Jacques
PA   Science Union et Cie., Societe Francaise de Recherche Medicale
SO   Ger. Offen., 10 pp.
     CODEN: GWXXBX
DT   Patent
LA   German
FAN.CNT 1
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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PI   DE 2222934         A    19721130      DE 1972-2222934  19720510
     DE 2222934         B2   19760826
     DE 2222934         C3   19770414
     GB 1345449         A    19740130      GB 1971-14877    19710514
     ZA 7202735         A    19730530      ZA 1972-2735     19720424
     AU 7241763         A1   19731108      AU 1972-41763    19720502
     US 3821225         A    19740628      US 1972-250567   19720505
     AT 316561          B    19740725      AT 1972-4056     19720509
     CH 553198          A    19740830      CH 1972-6841     19720509
     SE 381468          B    19751208      SE 1972-6147     19720509
     CA 957374          A1   19741105      CA 1972-142220   19720511
     BE 783420          A1   19721113      BE 1972-117445   19720512
     NL 7206392         A    19721116      NL 1972-6392     19720512
     SU 460624          D    19750215      SU 1972-1785482  19720512
     JP 51011635        B4   19760413      JP 1972-46508    19720512
     NO 134986          B    19761011      NO 1972-1707     19720512
     DK 137757          C    19781002      DK 1972-2371     19720512
     HU 163334          P    19730728      HU 1972-SI1264   19720513
PRAI GB 1971-14877           19710514
GI   For diagram(s), see printed CA Issue.
AB   Seven title compds. (I, (R = H, 6-Me, 4-Me, or 6-MeO; Q =
     2,5-pyridinediyl, 3,6-pyridazinediyl, 2,5-pyrimidinediyl, and
     2,5-pyrazinediyl), useful against bronchial asthma and anaphylactic
shock,
     were prepared by reaction of AcNHQCO2H with ClCO2Et in DMF in the
presence
     of Et3N at -10° and condensation of the reaction product with II
     and subsequent hydrolysis of the product with alc. KOH.
IT   40540-81-6
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reaction of, with acetamidoazine carboxylic acids and ethyl
        chloroformate)
RN   40540-81-6  CAPLUS
CN   1-Piperazineethanamine, 4-(6-methoxy-2-pyridinyl)- (9CI)  (CA INDEX NAME)
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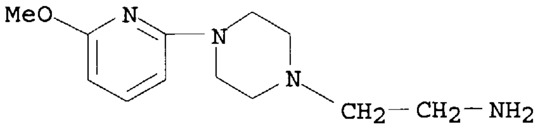

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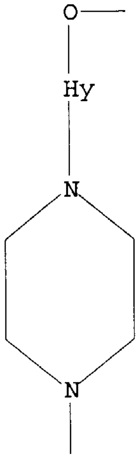

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L7        54761 S L3 AND L6
L8            2 S L1 SAM SUB=L7
L9           77 S L1 FUL SUB=L7

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